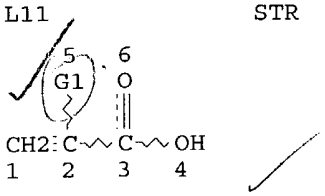
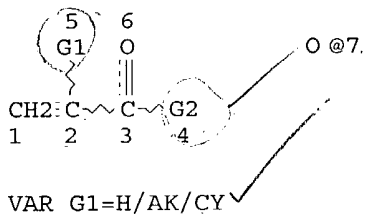
=> d que
L11 STR



VAR G1=H/AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 6

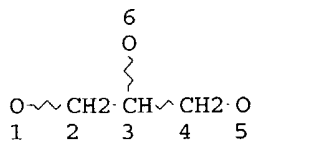
STEREO ATTRIBUTES: NONE
L13 261914 SEA FILE=REGISTRY ABB=ON PLU=ON PMS/CI AND NC=2 NOT (IDS OR MAN)/CI
L15 5961 SEA FILE=REGISTRY SUB=L13 SSS FUL L11
L19 STR



VAR G1=H/AK/CY
VAR G2=7/H/S/N/C
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 7
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 7

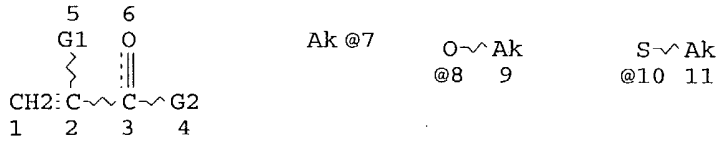
STEREO ATTRIBUTES: NONE
L21 2249 SEA FILE=REGISTRY SUB=L15 SSS FUL L19
L26 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 6

STEREO ATTRIBUTES: NONE
L27 137 SEA FILE=REGISTRY SUB=L21 SSS FUL L26
L34 STR



VAR G1=H/AK/CY
VAR G2=H/7/8/SH/10
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 7
CONNECT IS E1 RC AT 9
CONNECT IS E2 RC AT 10
CONNECT IS E1 RC AT 11
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
L35 383 SEA FILE=REGISTRY SUB=L21 SSS FUL L34
L41 20 SEA FILE=HCAPLUS ABB=ON PLU=ON (L27 OR L35) AND (LIPOSOM? OR VESICL? OR FUSOGEN?)

=> d l41 ibib abs hitind hitstr 1-20

L41 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:132559 HCAPLUS
DOCUMENT NUMBER: 140:322035
TITLE: Swollen **vesicles** and multiple emulsions from block copolymers
AUTHOR(S): Nikova, Ani T.; Gordon, Vernita D.; Cristobal, Galder; Talingting, Maria Ruela; Bell, David C.; Evans, Cara; Joanicot, Mathieu; Zasadzinski, Joseph A.; Weitz, David A.
CORPORATE SOURCE: Department of Physics and Division of Engineering and Applied Sciences, Harvard University, Cambridge, MA, 02138, USA
SOURCE: Macromolecules (2004), 37(6), 2215-2218
CODEN: MAMOBX; ISSN: 0024-9297
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We engineer novel structures by "stuffing" the aliphatic regions of self-assembled aggregates with hydrophobic homopolymer. These "stuffed" **vesicles** and multiple emulsions are formed in a one-step process when we rehydrate stuffed films made of amphiphilic block copolymer and hydrophobic homopolymer. Without such homopolymer, this system forms micelles. With homopolymer, **vesicles** form, varying **vesicle** membrane thicknesses show that these structures incorporate different amts. of homopolymer. Multiple emulsions, containing more homopolymer than stuffed **vesicles**, are also fabricated

using this single-amphiphile system. The system's incorporation of homopolymer to modify the properties and morphol. of the resultant structures is a convenient strategy for preparing self-assembled macromol. structures with controllable properties.

CC 36-5 (Physical Properties of Synthetic High Polymers)
Section cross-reference(s): 38, 73

IT Polymer morphology
(phase; swollen **vesicles** and multiple emulsions from block copolymers)

IT Micelles
(size; swollen **vesicles** and multiple emulsions from block copolymers)

IT Birefringence
Breaking strength
Emulsions
Expansion
Membranes, nonbiological
Plastic films
Self-assembly
Tension
(swollen **vesicles** and multiple emulsions from block copolymers)

IT **121917-48-4**, Acrylic acid-butyl acrylate block copolymer
RL: POF (Polymer in formulation); PRP (Properties); USES (Uses)
(diblock; swollen **vesicles** and multiple emulsions from block copolymers)

IT 9003-49-0, Butylacrylate homopolymer
RL: POF (Polymer in formulation); PRP (Properties); USES (Uses)
(swollen **vesicles** and multiple emulsions from block copolymers)

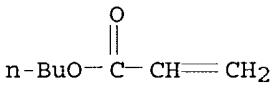
IT **121917-48-4**, Acrylic acid-butyl acrylate block copolymer
RL: POF (Polymer in formulation); PRP (Properties); USES (Uses)
(diblock; swollen **vesicles** and multiple emulsions from block copolymers)

RN 121917-48-4 HCAPLUS

CN 2-Propenoic acid, polymer with butyl 2-propenoate, block (9CI) (CA INDEX NAME)

CM 1

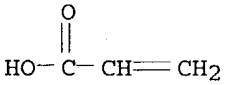
CRN 141-32-2
CMF C7 H12 O2



monomer 1

CM 2

CRN 79-10-7
CMF C3 H4 O2



monomer 2

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:934514 HCAPLUS
DOCUMENT NUMBER: 140:412149
TITLE: Oral colon-specific drug delivery for bee venom peptide: development of a coated calcium alginate gel beads-entrapped **liposome**
AUTHOR(S): Liu, Xing; Chen, Dawei; Xie, Liping; Zhang, Rongqing
CORPORATE SOURCE: School of Pharmacy, Department of Pharmaceutical Science, Shenyang Pharmaceutical University, Shenyang, 100016, Peop. Rep. China
SOURCE: Journal of Controlled Release (2003), 93(3), 293-300
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Colon-specific drug delivery systems (CDDSs) can be used to improve the bioavailability of protein and peptide drugs through the oral route. A novel formulation for oral administration using coated calcium alginate gel beads-entrapped **liposome** and bee venom peptide as a model drug has been investigated for colon-specific drug delivery in vitro. Drug release studies under conditions mimicking stomach to colon transit have shown that the drug was protected from being released completely in the physiol. environment of the stomach and small intestine. The release rate of bee venom from the coated calcium alginate gel beads-entrapped **liposome** was dependent on the concentration of calcium and sodium alginate, the amount of bee venom in the **liposome**, as well as the coating. Furthermore, a human γ-scintigraphy technique was used in vivo to determine drug delivery more precisely. The colonic arrival time of the tablets was found to be 4-5 h. The results clearly demonstrated that the coated calcium alginate gel beads-entrapped **liposome** is a potential system for colon-specific drug delivery.

CC 63-6 (Pharmaceuticals)
ST venom peptide calcium alginate bead **liposome** colon
IT Drug delivery systems
(beads; oral colon-specific coated calcium alginate gel beads-entrapped **liposome** for bee venom peptide delivery)
IT Intestine
(colon; oral colon-specific coated calcium alginate gel beads-entrapped **liposome** for bee venom peptide delivery)
IT Coating process
Dissolution
Drug bioavailability
Human
Venoms
(oral colon-specific coated calcium alginate gel beads-entrapped **liposome** for bee venom peptide delivery)
IT Drug delivery systems
(tablets; oral colon-specific coated calcium alginate gel beads-entrapped **liposome** for bee venom peptide delivery)
IT 9005-35-0, Calcium alginate **25086-15-1**, Eudragit S100
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(oral colon-specific coated calcium alginate gel beads-entrapped **liposome** for bee venom peptide delivery)
IT 9005-38-3, Sodium alginate

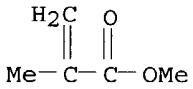
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral colon-specific coated calcium alginate gel beads-entrapped **liposome** for bee venom peptide delivery)

IT **25086-15-1**, Eudragit S100
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(oral colon-specific coated calcium alginate gel beads-entrapped **liposome** for bee venom peptide delivery)

RN 25086-15-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

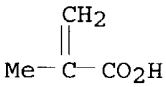
CM 1

CRN 80-62-6
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:892644 HCAPLUS
DOCUMENT NUMBER: 139:369751
TITLE: Pharmaceutical pH-sensitive polymers
INVENTOR(S): Petereit, Hans-Ulrich; Meier, Christian; Schultes, Klaus; Yessine, Marie-Andree; Leroux, Jean-Christophe
PATENT ASSIGNEE(S): Roehm G.m.b.H. & Co. K.-G., Germany; Universite de Montreal
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092732	A1	20031113	WO 2002-EP11791	20021022

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10220470 A1 20031120 DE 2002-10220470 20020507
PRIORITY APPLN. INFO.: DE 2002-10219505 A 20020430
DE 2002-10220470 A 20020507

AB The invention relates to a pH-sensitive polymer which is a (meth)acrylate copolymer composed of 20 to 65% by weight acrylic and/or methacrylic acid units and 80 to 35% by weight units of C1-18 alkyl esters of (meth)acrylic acid, characterized in that it has a mol. weight in the range from 1000 to 50,000 g/mol, and brings about at least 60% hemolysis at pH 5.5, and less than 5% hemolysis at pH 7.4, in a concentration of 150 µg/mL in a cytotoxicity test with human red blood cells. The invention further relates to the use of the pH-sensitive polymer as carrier for pharmaceutically effective biomols. or active pharmaceutical ingredients and as ingredient of corresponding dosage forms.

IC ICM A61K047-32
ICS A61K047-48; C08F220-46

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 35

IT Drug delivery systems
(**liposomes**; preparation and cytotoxicity of pH-sensitive acrylic polymers as drug carriers)

IT **25086-15-1P**, Methacrylic acid-methyl methacrylate copolymer
25212-88-8P, Ethyl acrylate-methacrylic acid copolymer
26338-06-7P, Ethyl acrylate-methacrylic acid-methyl acrylate copolymer
26715-43-5P, Butyl methacrylate-ethyl acrylate-methacrylic acid copolymer
26936-24-3P, Methacrylic acid-methyl acrylate-methyl methacrylate copolymer 99455-96-6P, Dodecyl methacrylate-ethyl acrylate-methacrylic acid copolymer
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and cytotoxicity of pH-sensitive acrylic polymers as drug carriers)

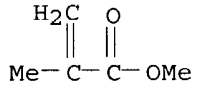
IT **25086-15-1P**, Methacrylic acid-methyl methacrylate copolymer
25212-88-8P, Ethyl acrylate-methacrylic acid copolymer
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and cytotoxicity of pH-sensitive acrylic polymers as drug carriers)

RN 25086-15-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

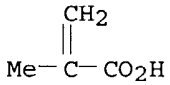
CM 1

CRN 80-62-6
CMF C5 H8 O2



CM 2

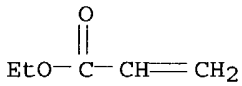
CRN 79-41-4
CMF C4 H6 O2



RN 25212-88-8 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with ethyl 2-propenoate (9CI) (CA INDEX NAME)

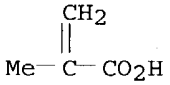
CM 1

CRN 140-88-5
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:757581 HCAPLUS
DOCUMENT NUMBER: 139:262071
TITLE: **Vesicles** comprising an amphiphilic diblock copolymer and a hydrophobic compound.
INVENTOR(S): Joanicot, Mathieu; Nikova, Ani; Talingting, Mariaruela; Weitz, David
PATENT ASSIGNEE(S): Rhodia Inc., USA; President and Fellows of Harvard College
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003078049	A1	20030925	WO 2003-EP2890	20030319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004010060	A1	20040115	US 2003-392123	20030319
PRIORITY APPLN. INFO.:			US 2002-366037P	P 20020320

AB **Vesicles** prepared from diblock copolymers and hydrophobic compds., and potential uses for delivering active ingredients are described. The **vesicles** comprise an external shell of a diblock copolymer comprising a hydrophilic block and a hydrophobic block, and at least one internal shell of the same or another diblock copolymer comprising hydrophilic block and a hydrophobic block. The hydrophobic block of the external shell faces the hydrophobic block of the internal shell(s), and further comprises a hydrophobic compound between the shells. An acrylic acid-Bu acrylate diblock copolymer is used as the external and internal shell with poly(Bu acrylate) used as the hydrophobic compound to prepare a thin film.

IC ICM B01J013-02
ICS B01J013-04; B01J013-12; A61K009-50

CC 38-3 (Plastics Fabrication and Uses)
Section cross-reference(s): 63

ST **vesicle** amphiphilic diblock copolymer hydrophobic compd

IT Polymers, uses
RL: TEM (Technical or engineered material use); USES (Uses)
(block, diblock; **vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)

IT Inorganic compounds
Polymers, uses
RL: TEM (Technical or engineered material use); USES (Uses)
(hydrophobic compound; **vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)

IT Emulsions
(triple; **vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)

IT Plastic films
Vesicles (colloidal)
(**vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)

IT 1314-98-3, Zinc sulfide, uses
RL: TEM (Technical or engineered material use); USES (Uses)
(CdSe coating, nanoparticle; **vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)

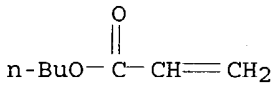
IT 1306-24-7, Cadmium selenide (CdSe), uses
RL: TEM (Technical or engineered material use); USES (Uses)
(ZnS then trioctylphosphine oxide coated, nanoparticle; **vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)

IT 9003-49-0, Butyl acrylate homopolymer 9003-49-0D, Butyl acrylate homopolymer, fluorescence marker modified
RL: TEM (Technical or engineered material use); USES (Uses)
(hydrophobic compound; **vesicles** prepared from amphiphilic diblock

copolymers and a hydrophobic compound)
IT 7732-18-5, Water, uses
RL: NUU (Other use, unclassified); USES (Uses)
(internal and external hydrophobic media; **vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)
IT 78-50-2, Trioctylphosphine oxide
RL: TEM (Technical or engineered material use); USES (Uses)
(oil-soluble CdSe coating, nanoparticle; **vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)
IT **121917-48-4**, Acrylic acid-butyl acrylate block copolymer
RL: TEM (Technical or engineered material use); USES (Uses)
(**vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)
IT **121917-48-4**, Acrylic acid-butyl acrylate block copolymer
RL: TEM (Technical or engineered material use); USES (Uses)
(**vesicles** prepared from amphiphilic diblock copolymers and a hydrophobic compound)
RN 121917-48-4 HCAPLUS
CN 2-Propenoic acid, polymer with butyl 2-propenoate, block (9CI) (CA INDEX NAME)

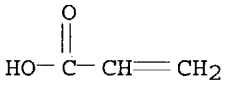
CM 1

CRN 141-32-2
CMF C7 H12 O2



CM 2

CRN 79-10-7
CMF C3 H4 O2



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:690046 HCAPLUS
DOCUMENT NUMBER: 140:428793
TITLE: Evaluation of pH-dependent membrane-disruptive properties of poly(acrylic acid) derived polymers
AUTHOR(S): Kusonwiriyawong, Chirasak; van de Wetering, Petra; Hubbell, Jeffrey A.; Merkle, Hans P.; Walter, Elke
CORPORATE SOURCE: Institute of Pharmaceutical Sciences, Swiss Federal Institute of Technology Zurich (ETH), Zurich, Switz.
SOURCE: European Journal of Pharmaceutics and Biopharmaceutics (2003), 56(2), 237-246
CODEN: EJPBEL; ISSN: 0939-6411

PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Anionic pH-sensitive membrane-disruptive polymers have evolved as a new class of bioactive excipients for the cytosolic delivery of therapeutic macromols. A large variety of anionic copolymers and analogs of poly(acrylic acid) (PA) was investigated and compared to a cationic PA copolymer. The pH-responsive membrane-disruptive properties were characterized by employing three in vitro models, such as pH dependent shift of pyrene fluorescence, **liposome** leakage and lysis of red blood cells. The pH-dependent increase of polarity and membrane disruption in the different model systems was in good agreement for all tested PA polymers. The efficacy of polymer-induced membrane disruption was concentration-dependent and significantly affected by the composition of the membrane. The sensitivity of relatively complex membranes of mammalian cells can be ranked between plain diphosphatidylcholine (DPPC) **liposomal** membranes and the more rigid cholesterol-containing DPPC membranes. Among the various studied PA polymers, medium and low mol. poly(ethacrylic acid) (PEA) and poly(propacrylic acid) (PPA) were identified as displaying significant pH-dependent disruptive activity. Relative to the disruptive cationic PA polymer (PDMAEM) the ranking is PEA < PPA < PDMAEM. The fine tuning of the pH-responsive hydrophilic-hydrophobic balance is likely to be responsible for the superior effect of PEA and PPA compared to other anionic PA polymers. This thorough investigation of a large variety of different anionic PA polymers and the comparison with an efficient, although rather toxic cationic PA polymer provides a good assessment for further therapeutic applications.

CC 63-5 (Pharmaceuticals)

IT Drug delivery systems
(**liposomes**; evaluation of pH-dependent membrane-disruptive properties of poly(acrylic acid) derived polymers)

IT 9003-01-4, Poly(acrylic acid) 9003-06-9, Acrylic acid-acrylamide copolymer 24938-16-7, Butyl methacrylate-(2-dimethylaminoethyl)methacrylate-methyl methacrylate copolymer **25085-35-2**, Acrylic acid-ethyl acrylate copolymer **25086-15-1**, Methyl methacrylate-methacrylic acid copolymer 25087-26-7, Poly(methacrylic acid) **25119-83-9**, Acrylic acid-butyl acrylate copolymer **25212-88-8**, Ethyl acrylate-methacrylic acid copolymer 62607-09-4, Poly(ethacrylic acid) 138134-74-4
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(evaluation of pH-dependent membrane-disruptive properties of poly(acrylic acid) derived polymers)

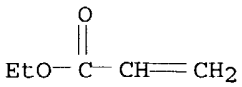
IT **25085-35-2**, Acrylic acid-ethyl acrylate copolymer **25086-15-1**, Methyl methacrylate-methacrylic acid copolymer **25119-83-9**, Acrylic acid-butyl acrylate copolymer **25212-88-8**, Ethyl acrylate-methacrylic acid copolymer
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(evaluation of pH-dependent membrane-disruptive properties of poly(acrylic acid) derived polymers)

RN 25085-35-2 HCAPLUS
CN 2-Propenoic acid, polymer with ethyl 2-propenoate (9CI) (CA INDEX NAME)

CM 1

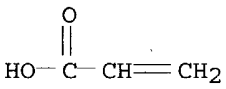
CRN 140-88-5

CMF C5 H8 O2



CM 2

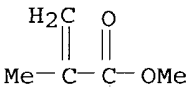
CRN 79-10-7
CMF C3 H4 O2



RN 25086-15-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

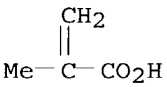
CM 1

CRN 80-62-6
CMF C5 H8 O2



CM 2

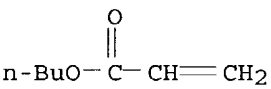
CRN 79-41-4
CMF C4 H6 O2



RN 25119-83-9 HCAPLUS
CN 2-Propenoic acid, polymer with butyl 2-propenoate (9CI) (CA INDEX NAME)

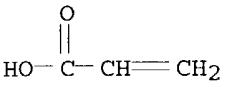
CM 1

CRN 141-32-2
CMF C7 H12 O2



CM 2

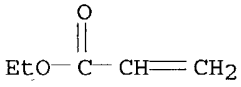
CRN 79-10-7
CMF C3 H4 O2



RN 25212-88-8 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with ethyl 2-propenoate (9CI) (CA INDEX NAME)

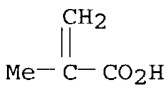
CM 1

CRN 140-88-5
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:816426 HCAPLUS
DOCUMENT NUMBER: 135:348932
TITLE: **Liposomes** for oral delivery of proteinaceous and other drugs
INVENTOR(S): Yatvin, Milton B.; Betageri, Guru
PATENT ASSIGNEE(S): Enzrel, Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001082897	A2	20011108	WO 2001-US14002	20010501

WO 2001082897 A3 20021128
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 6761901 B1 20040713 US 2000-562207 20000502
CA 2407210 AA 20011108 CA 2001-2407210 20010501
EP 1280518 A2 20030205 EP 2001-934968 20010501
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2003534252 T2 20031118 JP 2001-579772 20010501
PRIORITY APPLN. INFO.: US 2000-562207 A2 20000502
WO 2001-US14002 W 20010501

AB This invention comprises pharmaceutical compns. for administering a biol. active compound to an animal. Particularly provided are proliposomal compns. that are advantageously used to deliver biol. active compds. to the gastrointestinal tract after oral administration, i.e., an enteric-coated tablet. The proliposome composition comprises a lipid, such as sphingosine, ceramide stearylamine, or dicetyl phosphate, a phospholipid, such as phosphatidylcholine, phosphatidyl glycerol, phosphatidylethanolamine, phosphatidylinositol, etc., or cholesterol. The enteric coating is selected from Eudragit and cellulose acetate phthalate. The composition further comprises a protective coating selected from hydroxypropyl Me cellulose and polyethylene glycol. The protective coating further comprises a plasticizer, such as tri-Et citrate and polyvinyl pyrrolidone. The biol. active compound is a nutrient, a hormone, a nucleic acid, an antibiotic drug, an enzyme, an antigen, an antiviral drug, an antiproliferative drug, an antineoplastic drug, an anti-inflammatory drug, a peptide or a protein. A proliposomal composition is prepared by lyophilization, spray drying in the presence or absence of a surfactant, or pan drying. For example, enteric-coated proliposomal tablets were prepared by spray-drying using glyburide as a model drug, and combinations of cholesterol, dicetyl phosphate, stearylamine, distearoylphosphatidylcholine (DSPC) or dimyristoylphosphatidylcholine (DMPC), and Eudragit L30 D-55 as enteric coatings. A slightly higher percentage of the drug was encapsulated in DMPC. The presence of cholesterol reduces the particle size of the formulation. Enhanced transport of glyburide across Caco-2 cells was observed with such **liposomal** formulations.

IC ICM A61K009-00
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 18
ST **liposome** drug nutrient oral delivery
IT Anti-inflammatory agents
Antibiotics
Antitumor agents
Antiviral agents
Nutrients
Plasticizers
Surfactants
(**liposomes** for oral delivery of proteinaceous and other drugs and nutrients)
IT Antigens
Ceramides

Enzymes, biological studies
Fatty acids, biological studies
Gelatins, biological studies
Hormones, animal, biological studies
Nucleic acids
Peptides, biological studies
Phosphatidic acids
Phosphatidylcholines, biological studies
Phosphatidylethanolamines, biological studies
Phosphatidylglycerols
Phosphatidylinositols
Phosphatidylserines
Phospholipids, biological studies
Polyoxyalkylenes, biological studies
Proteins, general, biological studies
Sphingosines
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Drug delivery systems
(**liposomes**; **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Intestine
(mucosa, drug transport across; **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Lipids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neutral and pos. or neg.-charged; **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Drug delivery systems
(oral; **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Drying
(pan; preparation of **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Freeze drying
(preparation of **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Proliferation inhibition
(proliferation inhibitors; **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(salts; **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Drying
(spray; preparation of **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT Drug delivery systems
(tablets, enteric-coated; **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT 9004-38-0, Cellulose acetate phthalate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enteric coatings; **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT 57-88-5, Cholesterol, biological studies 63-42-3, Lactose 124-30-1, Stearylamine 816-94-4, Distearoylphosphatidylcholine 2197-63-9, Dicetyl phosphate 9000-01-5, Acacia gum 9000-69-5, Pectin 9002-18-0, Agar 9004-57-3, Ethyl cellulose 9004-65-3, Hydroxypropyl

methylcellulose 9005-25-8, Corn starch, biological studies 9005-65-6, Tween 80 14807-96-6, Talc, biological studies 18194-24-6, Dimyristoylphosphatidylcholine **25212-88-8**, Eudragit L30 D-55 25322-68-3, Polyethylene glycol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT 77-93-0, Triethyl citrate 9003-39-8, Polyvinyl pyrrolidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(plasticizer; **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

IT 10238-21-8, Glyburide
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of **liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

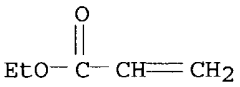
IT **25212-88-8**, Eudragit L30 D-55
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**liposomes** for oral delivery of proteinaceous and other drugs and nutrients)

RN 25212-88-8 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with ethyl 2-propenoate (9CI) (CA INDEX NAME)

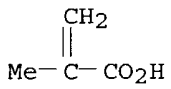
CM 1

CRN 140-88-5
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



L41 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:590404 HCAPLUS
DOCUMENT NUMBER: 136:236807
TITLE: pH-sensitive hemolysis by random copolymers of alkyl acrylates and acrylic acid
AUTHOR(S): Murthy, Niren; Chang, Isiah; Stayton, Pat; Hoffman, Allan
CORPORATE SOURCE: Department of Bioengineering, University of Washington, Seattle, WA, 98195, USA
SOURCE: Macromolecular Symposia (2001), 172(Polymers in Medicine), 49-55

CODEN: MSYMEC; ISSN: 1022-1360
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We have been designing and synthesizing synthetic polymers that mimic viral **fusogenic** peptides, which contain peptide residues having alkyl groups and carboxyl groups. We synthesized 2 different types of such polymers, and their abilities to undergo hemolysis red blood cells at pH 7.4 and 5.5 were compared. The polymers are poly(2-alkylacrylic acid)s such as poly(2-propylacrylic acid), and random copolymers of poly(alkyl acrylate-co-acrylic acid) where the alkyl group is Pr or Bu. The poly(2-alkylacrylic acids) such as poly(2-propylacrylic acid) are significantly more hemolytic at acidic pH than the random copolymers of equivalent Pr and carboxyl contents.

CC 63-7 (Pharmaceuticals)
Section cross-reference(s): 37

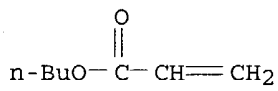
IT **25119-83-9P**, Acrylic acid-butyl acrylate copolymer
75034-36-5P, Acrylic acid-propyl acrylate copolymer
138134-74-4P, Poly(2-propylacrylic acid) 138134-76-6P, Poly(2-butylacrylic acid)
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pH-sensitive hemolysis by random copolymers of alkyl acrylates and acrylic acid)

IT **25119-83-9P**, Acrylic acid-butyl acrylate copolymer
75034-36-5P, Acrylic acid-propyl acrylate copolymer
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pH-sensitive hemolysis by random copolymers of alkyl acrylates and acrylic acid)

RN 25119-83-9 HCAPLUS
CN 2-Propenoic acid, polymer with butyl 2-propenoate (9CI) (CA INDEX NAME)

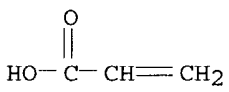
CM 1

CRN 141-32-2
CMF C7 H12 O2



CM 2

CRN 79-10-7
CMF C3 H4 O2

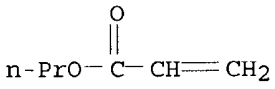


RN 75034-36-5 HCAPLUS

CN 2-Propenoic acid, polymer with propyl 2-propenoate (9CI) (CA INDEX NAME)

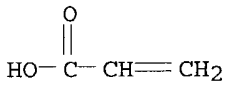
CM 1

CRN 925-60-0
CMF C6 H10 O2



CM 2

CRN 79-10-7
CMF C3 H4 O2



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:167177 HCAPLUS
DOCUMENT NUMBER: 135:9934
TITLE: Formulation, characterization, and in vitro release of glyburide from proliposomal beads
AUTHOR(S): Kumar, Rajesh; Gupta, Ram B.; Betageri, Guru V.
CORPORATE SOURCE: Department of Pharmacal Sciences, School of Pharmacy, Auburn University, Auburn, AL, USA
SOURCE: Drug Delivery (2001), 8(1), 25-27
CODEN: DDELEB; ISSN: 1071-7544
PUBLISHER: Taylor & Francis
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The objective of our study was to formulate and evaluate proliposomes in the form of enteric-coated beads using glyburide as a model drug. The beads were enteric coated with Eudragit L-100 by a fluidized bed coating process using tri-Et citrate as plasticizer. Content uniformity of glyburide was estimated using HPLC anal. of beads dissolved in methanol. These proliposomal beads formed **liposomes** on disintegration in phosphate buffered saline (pH 7.4), which was confirmed by TEM. The dissoln. study of enteric-coated beads exhibited enhanced dissoln. compared with pure drug and a com. product. **Liposomes** can be successfully prepared for oral administration in the form of enteric-coated beads that may offer a stable system to produce **liposomes** for oral administration.
CC 63-6 (Pharmaceuticals)
IT Drug delivery systems
(**liposomes**, oral; formulation and characterization and release of glyburide from proliposomal beads)
IT 57-88-5, Cholesterol, biological studies 77-93-0, Triethyl citrate 124-30-1, Stearylamine 4539-70-2 9003-39-8, PVP 9005-25-8, Starch,

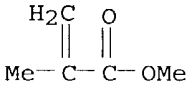
biological studies 10238-21-8, Glyburide 14807-96-6, Talc, biological studies **25086-15-1**, Eudragit L-100
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(formulation and characterization and release of glyburide from proliposomal beads)

IT **25086-15-1**, Eudragit L-100
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(formulation and characterization and release of glyburide from proliposomal beads)

RN 25086-15-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

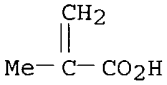
CM 1

CRN 80-62-6
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:240923 HCAPLUS
DOCUMENT NUMBER: 132:270089
TITLE: Synergistic antimicrobial, dermatological and ophthalmic preparations containing chlorite and hydrogen peroxide
INVENTOR(S): Karagoezian, Hampar L.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000019981	A1	20000413	WO 1999-US23291	19991006

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9964169	A1	20000426	AU 1999-64169	19991006
EP 1119347	A1	20010801	EP 1999-951810	19991006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003522109	T2	20030722	JP 2000-573343	19991006
US 6488965	B1	20021203	US 2000-722919	20001127
PRIORITY APPLN. INFO.:			US 1998-169620 A	19981008
			US 1999-412174 A	19991004
			WO 1999-US23291 W	19991006

AB Disclosed are antimicrobial/pharmaceutical prepns. (e.g., solns., gels, ointments, creams, sustained release prepns., etc.) which include chlorite (e.g., a metal salt of a chlorite) in combination with a peroxy compound (e.g., hydrogen peroxide), and methods for using such prepns. for disinfection of articles or surfaces (e.g., contact lenses, counter tops, etc.), antisepsis of skin or other body parts, prevention or deterrence of scar formation and/or treatment and prophylaxis of dermal (i.e., skin or mucous membrane) disorders (e.g., wounds, burns, infections, cold sores, ulcerations, psoriasis, acne, or other scar-forming lesions). A gel containing Na chlorite 0.06, H2O2 0.01, hydroxypropyl Me cellulose 2, boric acid 0.15, HCl/NaOH q.s. to pH 7.4, and purified water q.s. to 100 % was formulated and applied on the affected arms to treat psoriasis plaques.

IC A61K009-127; A61K033-40; A01N025-00; A01N059-08; A01N059-14

CC 63-6 (Pharmaceuticals)

IT Drug delivery systems
(**liposomes**, sustained-release; synergistic antimicrobial prepns. containing chlorites and peroxides)

IT 57-88-5, Cholesterol, biological studies 63-89-8, Dipalmitoylphosphatidylcholine 3036-82-6, Dipalmitoylphosphatidylserine 9002-89-5, Polyvinyl alcohol 9003-39-8, Polyvinylpyrrolidone 9004-32-4, Carboxymethyl cellulose 9004-35-7, Cellulose acetate 9004-61-9, Hyaluronic acid 9004-62-0, Hydroxyethyl cellulose 9032-42-2, Methylhydroxyethyl cellulose 9050-31-1, Hydroxypropyl methyl cellulose phthalate **25086-15-1**, Methacrylic acid-methyl methacrylate copolymer 69670-80-0, Hydroxymethyl propyl cellulose
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sustained release matrix; synergistic antimicrobial prepns. containing chlorites and peroxides)

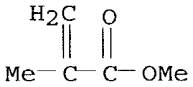
IT **25086-15-1**, Methacrylic acid-methyl methacrylate copolymer
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sustained release matrix; synergistic antimicrobial prepns. containing chlorites and peroxides)

RN 25086-15-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

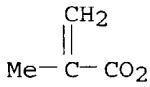
CM 1

CRN 80-62-6
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:14983 HCAPLUS
DOCUMENT NUMBER: 132:83650
TITLE: Solid dispersed preparation of poorly water-soluble drug containing oil, fatty acid or mixtures thereof
INVENTOR(S): Lee, Beom Jin
PATENT ASSIGNEE(S): Won Jin Biopharma Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000000179	A1	20000106	WO 1999-KR341		19990628
W: AU, CA, CN, JP, US					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
KR 2000006503	A	20000125	KR 1999-24437		19990626
AU 9946556	A1	20000117	AU 1999-46556		19990628
PRIORITY APPLN. INFO.:			KR 1998-24563	A	19980627
			KR 1999-24437	A	19990626
			WO 1999-KR341	W	19990628

AB Disclosed is a solid dispersed preparation for poorly water-soluble drugs, which is prepared by dissolving or dispersing the poorly water-soluble drugs in an oil, a fatty acid or a mixture thereof, mixing the solution or dispersion in a water-soluble polyol matrix and drying the mixture The solid dispersed preparation can be formulated into a power formulation or a granule formulation. The solid dispersed preparation is improved in the solubility of poorly water-soluble drugs in the gastro-intestinal tract, resulting in a great increase in the bioavailability of the drugs. In addition, the solid dispersed preparation gives the pharmaceutical solns. to the problems that the conventional semi-solid or liquid prepns. possess, enabling medicinally effective, poorly water-soluble

compds. to be formulated, molded and processed, quickly and in an economically favorable manner without use of any organic solvent. Examples are given for emulsions containing mixts. of waxes, oils, and aqueous phase.

IC ICM A61K009-14
ICS A61K009-16; A61K009-20; A61K009-48; A61K031-20; A61K009-107; A61K038-00

CC 63-6 (Pharmaceuticals)

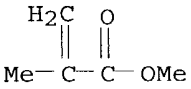
IT Drug delivery systems
(**liposomes**; solid dispersed preparation of poorly water-soluble drug containing oils and fatty acid or mixts.)

IT 50-06-6, Phenobarbital, biological studies 50-14-6, Vitamin D2 50-23-7, Hydrocortisone 50-35-1, Thalidomide 50-44-2, Mercaptopurine 50-78-2, Aspirin 53-86-1, Indomethacin 57-41-0, Phenytoin 57-55-6, Propylene glycol, biological studies 57-88-5D, Cholesterol, esters 58-18-4, Methyltestosterone 58-38-8, Prochlorperazine 58-55-9, Theophylline, biological studies 58-74-2, Papaverine 58-93-5, Hydrochlorothiazide 58-95-7, Tocopheryl acetate 60-18-4, Tyrosine, biological studies 61-33-6, Benzylpenicillin, biological studies 63-91-2, L-Phenylalanine, biological studies 64-77-7, Tolbutamide 65-85-0, Benzoic acid, biological studies 67-97-0, Cholecalciferol 68-35-9, Sulfadiazine 69-72-7, Salicylic acid, biological studies 71-63-6, Digitoxin 73-22-3, Tryptophan, biological studies 73-31-4, Melatonin 79-63-0D, Lanosterol, esters 81-13-0, Panthenol 81-81-2, Warfarin 83-88-5, Riboflavin, biological studies 87-08-1, Phenoxymethylpenicillin 94-19-9, Sulfaethylthiadiazole 103-90-2, Acetaminophen 107-88-0, 1,3-Butanediol 110-27-0, Isopropyl myristate 120-40-1D, Lauric acid diethanolamide, coco acyl derivs. 124-07-2D, Octanoic acid, esters, biological studies 126-07-8, Griseofulvin 127-31-1, Fludrocortisone 148-79-8, Thiabendazole 148-82-3, Melphalan 150-13-0, p-Aminobenzoic acid 298-57-7, Cinnarizine 305-03-3, Chlorambucil 439-14-5, Diazepam 515-69-5, α-Bisabolol 525-66-6, Propranolol 532-32-1, Sodium benzoate 541-15-1, Levocarnitine 745-65-3, Prostaglandin E1 1134-47-0, Baclofen 1404-93-9, Vancomycin hydrochloride 3040-38-8, Acetyl-L-carnitine 3094-09-5, Doxifluridine 4759-48-2, Isotretinoin 5104-49-4, Flurbiprofen 6915-15-7D, Malic acid, esters 7414-83-7, Etidronate disodium 8007-43-0, Sorbitan sesquioleate 9002-89-5, Polyvinyl alcohol 9003-01-4, Polyacrylic acid 9004-99-3, Polyethylene glycol stearate 9005-38-3, Sodium alginate 9005-65-6, Polysorbate 80 9005-67-8D, Polysorbate 60, esters 9016-45-9, Polyoxyethylene nonylphenyl ether 9067-32-7, Sodium hyaluronate 10238-21-8, Glyburide 11099-07-3, Glyceryl stearate 12794-10-4, Benzodiazepine 13182-89-3, Metronidazole benzoate 13609-67-1, Hydrocortisone butyrate 13832-70-7, Stearyl glycyrrhetinate 14011-37-1, Hydrazine hydrochloride 15307-79-6, Sodium diclofenac 15687-27-1, Ibuprofen 17692-51-2, Metergoline 20830-75-5, Digoxin 21829-25-4, Nifedipine 22204-53-1, Naproxen 22832-87-7, Miconazole nitrate 22916-47-8, Miconazole 23214-92-8, Doxorubicin **25086-15-1**, Eudragit L100 25104-18-1, Polylysine 25322-68-3, Peg 26016-98-8, Fosfomycin calcium 26266-58-0, Sorbitan trioleate 27195-16-0, Sucrose distearate 29122-68-7, Atenolol 29710-31-4 36574-66-0D, N-coco acyl derivs. 38000-06-5, Polylysine 39279-69-1, Cremophor 41669-30-1, Isostearyl isostearate 53237-50-6 54350-48-0, Etretinate 56451-84-4, Sorbitan stearate 56741-95-8, Bropirimine 59277-89-3, Acyclovir 59865-13-3, Cyclosporin A 62013-04-1, Dirithromycin 64544-07-6, Cefuroxime axetil 65002-17-7, Bucillamine 65277-42-1, Ketoconazole 66085-59-4, Nimodipine 68958-48-5, Glyceryl diisostearate 69655-05-6, Didanosine 71138-97-1, Hydroxypropyl methyl cellulose acetate succinate 71902-01-7, Sorbitan isostearate 72956-09-3, Carvedilol 73590-58-6, Omeprazole 75330-75-5, Lovastatin

78110-38-0, Aztreonam 79350-37-1, Cefixime 81098-60-4, Cisapride
83826-43-1, Octyldodecyl myristate 84625-61-6, Itraconazole
85721-33-1, Ciprofloxacin 86386-73-4, Fluconazole 87679-37-6,
Trandolapril 88995-63-5 89796-99-6, Aceclofenac 97375-24-1, Isononyl
isostearate 98048-97-6, Fosinopril 108929-04-0 110369-45-4
129318-43-0, Alendronate sodium 145686-34-6, Cetyl dimethicone copolyol
187339-62-4 247570-54-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid dispersed preparation of poorly water-soluble drug containing oils
and fatty
acid or mixts.)
IT **25086-15-1**, Eudragit L100
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid dispersed preparation of poorly water-soluble drug containing oils
and fatty
acid or mixts.)
RN 25086-15-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate
(9CI) (CA INDEX NAME)

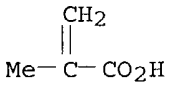
CM 1

CRN 80-62-6
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:557800 HCAPLUS
DOCUMENT NUMBER: 131:355968
TITLE: The design and synthesis of polymers for eukaryotic membrane disruption
AUTHOR(S): Murthy, N.; Robichaud, J. R.; Tirrell, D. A.; Stayton, P. S.; Hoffman, A. S.
CORPORATE SOURCE: Department of Bioengineering, University of Washington, Seattle, WA, USA
SOURCE: Journal of Controlled Release (1999), 61(1-2), 137-143
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The intracellular trafficking of drugs is critical to the efficacy of drugs that are susceptible to attack by lysosomal enzymes. It is therefore an important goal to design and synthesize mols. which can enhance the transport of endocytosed drugs from the endosomal compartments to the cytoplasm. The pH of an endosome is lower than that of the cytosol by one to two pH units, depending on the stage of endosomal development. This pH gradient is a key factor in the design of membrane-disruptive polymers which could enhance the endosomal release of drugs. Such polymers should disrupt lipid bilayer membranes at pH 6.5 and below, but should be non-lytic at pH 7.4. We have designed and synthesized pH-sensitive synthetic polymers which efficiently disrupt red blood cells within a sharply defined pH range. One of these polymers, poly(Et acrylic acid) (PEAAc) has been previously shown to disrupt synthetic **vesicles** in a pH-dependent fashion [J. Thomas, et al 1992]. PEAAc hemolyzes red blood cells with an activity of 107 mols. per red blood cell, which is as efficient on a molar basis as the peptide melittin. The mechanism of RBC hemolysis by PEAAc is consistent with the colloid osmotic mechanism. PEAAc's hemolytic activity rises rapidly as the pH decreases from 6.3 to 5.0, and there is no hemolytic activity at pH 7.4. A related polymer, poly(Pr acrylic acid) (PPAAc), was synthesized to test whether making the pendant alkyl group more hydrophobic by adding one methylene group would increase the hemolytic activity. PPAAc was found to disrupt red blood cells 15 times more efficiently than PEAAc at pH 6.1. PPAAc was also not active at pH 7.4 and displayed a pH-dependent hemolysis that was shifted toward higher pH's. Random 1:1 copolymers of Et acrylate (EA) and acrylic acid (AAc) (which contain random -COOH and -C2H5 groups that are present and regularly repeat in PEAAc) also displayed significant hemolytic activity, with an efficiency close to PEAAc. These results demonstrate that pH-sensitive synthetic polymers can be molecularly engineered to efficiently disrupt eukaryotic membranes within defined and narrow pH ranges. Thus, these polymers might serve as endosomal disruptive agents with specificities for early or late endosomes.

CC 63-5 (Pharmaceuticals)

IT **25085-35-2P** 62607-09-4P 138134-74-4P
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(design and preparation of polymers for eukaryotic membrane disruption)

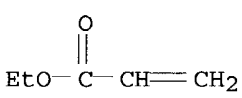
IT **25085-35-2P**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(design and preparation of polymers for eukaryotic membrane disruption)

RN 25085-35-2 HCAPLUS

CN 2-Propenoic acid, polymer with ethyl 2-propenoate (9CI) (CA INDEX NAME)

CM 1

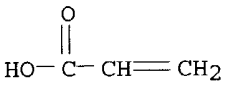
CRN 140-88-5
CMF C5 H8 O2



Searched by Paul Schulwitz 571-272-2527

CM 2

CRN 79-10-7
CMF C3 H4 O2



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:451212 HCAPLUS
DOCUMENT NUMBER: 131:106813
TITLE: Enhanced transport using membrane disruptive agents
INVENTOR(S): Hoffman, Allan S.; Stayton, Patrick; Press, Oliver; Tirrell, David; Murthy, Niren; Lackey, Chantal; Crum, Lawrence A.; Mourad, Pierre D.; Porter, Tyrone M.
PATENT ASSIGNEE(S): University of Washington, USA; University of Massachusetts
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9934831	A1	19990715	WO 1999-US122		19990105
W: AU, CA, JP					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2317549	AA	19990715	CA 1999-2317549		19990105
AU 9920261	A1	19990726	AU 1999-20261		19990105
AU 758368	B2	20030320			
EP 1044021	A1	20001018	EP 1999-900750		19990105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
US 2001007666	A1	20010712	US 1999-226044		19990105
JP 2002500201	T2	20020108	JP 2000-527278		19990105
PRIORITY APPLN. INFO.:			US 1998-70411P	P	19980105
			WO 1999-US122	W	19990105

AB Compns. and methods for transport or release of therapeutic and diagnostic agents or metabolites or other analytes from cells, compartments within cells, or through cell layers or barriers are described. The compns. include a membrane barrier transport enhancing agent and are usually administered in combination with an enhancer and/or exposure to stimuli to effect disruption or altered permeability, transport or release. In a preferred embodiment, the compns. include compds. which disrupt endosomal membranes in response to the low pH in the endosomes but which are relatively inactive toward cell membranes, coupled directly or indirectly to a therapeutic or diagnostic agent. Other disruptive agents can also be used, responsive to stimuli and/or enhancers other than pH, such as light, elec. stimuli, electromagnetic stimuli, ultrasound, temperature, or combinations

thereof. The compds. can be coupled by ionic, covalent or H bonds to an agent to be delivered or to a ligand which forms a complex with the agent to be delivered. Agents to be delivered can be therapeutic and/or diagnostic agents. Treatments which enhance delivery such as ultrasound, iontophoresis, and/or electrophoresis can also be used with the disrupting agents. The ability of the GALA peptide to lyse erythrocytes was compared with that of an GALA/poly(acrylic acid) conjugate at pH 5.0. The conjugate gave 70% lysis at 100 μg.

IC ICM A61K047-32
ICS A61K047-42; A61K047-48; A61K041-00

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 37

IT Drug delivery systems
(**liposomes**; enhanced drug transport using membrane disruptive agents)

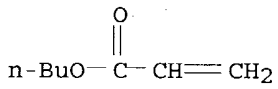
IT 9013-20-1D, Streptavidin, conjugates with polymers **25119-83-9**, Acrylic acid-butyl acrylate copolymer 62607-09-4, Poly(ethacrylic acid) 62607-09-4D, Poly(ethacrylic acid), protein conjugates **75034-36-5**, Acrylic acid-propyl acrylate copolymer 138134-74-4, Poly(α-propylacrylic acid) 138134-76-6, Poly(α-butylacrylic acid)
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enhanced drug transport using membrane disruptive agents)

IT **25119-83-9**, Acrylic acid-butyl acrylate copolymer
75034-36-5, Acrylic acid-propyl acrylate copolymer
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enhanced drug transport using membrane disruptive agents)

RN 25119-83-9 HCAPLUS
CN 2-Propenoic acid, polymer with butyl 2-propenoate (9CI) (CA INDEX NAME)

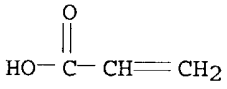
CM 1

CRN 141-32-2
CMF C7 H12 O2



CM 2

CRN 79-10-7
CMF C3 H4 O2

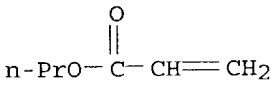


RN 75034-36-5 HCAPLUS
CN 2-Propenoic acid, polymer with propyl 2-propenoate (9CI) (CA INDEX NAME)

CM 1

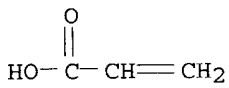
CRN 925-60-0

CMF C6 H10 O2



CM 2

CRN 79-10-7
CMF C3 H4 O2



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:359734 HCAPLUS
DOCUMENT NUMBER: 131:2505
TITLE: Enzyme substrate delivery and product registration in one-step enzyme immunoassays
INVENTOR(S): Nelson, Alan M.; Pawlak, Jan W.; Pronovost, Allan D.
PATENT ASSIGNEE(S): Quidel Corporation, USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9927364	A1	19990603	WO 1997-US23135	19971204
W: JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6306642	B1	20011023	US 1997-977183	19971124
US 2002025541	A1	20020228	US 2001-943031	20010829
US 6706539	B2	20040316		
US 2004152207	A1	20040805	US 2004-763466	20040122
PRIORITY APPLN. INFO.:			US 1997-977183	A 19971124
			US 2001-943031	A1 20010829

AB One-step enzyme immunoassays and apparatus are disclosed in which enzyme-antibody conjugate or label and enzyme substrate are separated until separation of bound and free enzyme conjugate or label is complete. This separation is accomplished by using variable flow paths, immobilization of substrate at the test line, placement of substrate in a sac or association with a particle label, enzyme product chemical capture, delay zone dissoln. and protected enzyme substrates. Enzyme substrate-loaded **liposomes** were prepared from cholesterol, distearoyl phosphatidylcholine, and distearoyl phosphatidylethanolamine-(p-maleimidophenyl)butyrate and conjugated with anti-human chorionic gonadotropin (hCG) monoclonal

antibody derivatized with SPDP. In a lateral flow one-step enzyme immunoassay device, capture zone membranes contained anti-hCG antibody conjugated with phospholipase or complement C1q.

IC ICM G01N033-53
ICS G01N033-543; G01N033-549

CC 9-1 (Biochemical Methods)
Section cross-reference(s): 2, 7

IT Antibodies
RL: ARG (Analytical reagent use); DEV (Device component use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(monoclonal, to human chorionic gonadotropin, conjugates with enzyme substrate-containing **liposomes**; enzyme substrate delivery and product registration in one-step enzyme immunoassays)

IT **Liposomes**
(substrate-containing and conjugates with antibody; enzyme substrate delivery and product registration in one-step enzyme immunoassays)

IT 57-88-5, Cholesterol, analysis 4539-70-2, Distearoyl phosphatidylcholine
RL: ARU (Analytical role, unclassified); DEV (Device component use); ANST (Analytical study); USES (Uses)
(as enzyme substrate-containing **liposome** component; enzyme substrate delivery and product registration in one-step enzyme immunoassays)

IT 118786-97-3
RL: ARU (Analytical role, unclassified); DEV (Device component use); RCT (Reactant); ANST (Analytical study); RACT (Reactant or reagent); USES (Uses)
(as enzyme substrate-containing **liposome** component; enzyme substrate delivery and product registration in one-step enzyme immunoassays)

IT 9013-93-8D, Phospholipase, anti-hCG antibody conjugates 80295-33-6D, Complement C1q, anti-hCG antibody conjugates
RL: ARG (Analytical reagent use); DEV (Device component use); ANST (Analytical study); USES (Uses)
(for release of substrate from **liposomes**; enzyme substrate delivery and product registration in one-step enzyme immunoassays)

IT **25086-15-1**, Methacrylic acid-methylmethacrylate copolymer
RL: ARU (Analytical role, unclassified); DEV (Device component use); ANST (Analytical study); USES (Uses)
(in barrier zone of immunoassay device; enzyme substrate delivery and product registration in one-step enzyme immunoassays)

IT 68181-17-9, SPDP
RL: RCT (Reactant); RACT (Reactant or reagent)
(in conjugation of anti-human chorionic gonadotropin monoclonal antibody to enzyme substrate-containing **liposomes**; enzyme substrate delivery and product registration in one-step enzyme immunoassays)

IT **25086-15-1**, Methacrylic acid-methylmethacrylate copolymer
RL: ARU (Analytical role, unclassified); DEV (Device component use); ANST (Analytical study); USES (Uses)
(in barrier zone of immunoassay device; enzyme substrate delivery and product registration in one-step enzyme immunoassays)

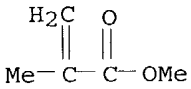
RN 25086-15-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

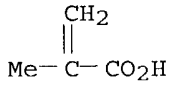
CRN 80-62-6

CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:90531 HCAPLUS
DOCUMENT NUMBER: 130:158409
TITLE: Tannic acid-polymer compositions for controlled release of pharmaceutical agents, particularly in the oral cavity
INVENTOR(S): Lerner, E. Itzhak; Rosenberger, Vered; Flashner, Moshe
PATENT ASSIGNEE(S): Perio Products Ltd., Israel
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9904764	A1	19990204	WO 1998-US15096	19980722
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885784	A1	19990216	AU 1998-85784	19980722
EP 1003483	A1	20000531	EP 1998-936955	19980722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9811478	A	20000815	BR 1998-11478	19980722
JP 2001510788	T2	20010807	JP 2000-503824	19980722
NO 2000000284	A	20000322	NO 2000-284	20000120
PRIORITY APPLN. INFO.:			US 1997-899121 A2	19970723
			WO 1998-US15096 W	19980722

AB The invention is directed to controlled- or sustained-release compns. for

the release of pharmaceuticals or other agents. Essential components in the compns. of the present invention include one or more polymers and tannic acid or tannin. Release of the pharmaceutical or other agent is for a predetd. period of time and at a predetd. concentration The site of action of the agent is topical, local or systemic. Polymers are cellulosic or proteinaceous. A solution containing tannic acid 1.7 and water 1.7 g was added dropwise into a solution containing Byco E 3.1 and water 3.1 g, and 0.45 g of the tannic acid-Byco preparation was mixed with 0.63 g of nicotine-encapsulated MLV **liposomes** consisting of egg phosphatidylcholine 60.9, phosphatidylethanolamine 6.6, and cholesterol 32.5 %. The mixture was applied in polypropylene molds (280 mg/well) and dried at 35° in the oven to form oral patches containing nicotine ≤ 2 mg. Release of nicotine from the oral patches was monitored through in vitro and in vivo assays using saliva samples.

IC ICM A61K009-20
ICS A61K009-70

CC 63-6 (Pharmaceuticals)

IT Drug delivery systems
Drug delivery systems
(**liposomes**, controlled-release; liquid compns. containing tannins and polymers and entrapped drugs to manufacture buccal adhesive patches)

IT 54-11-5, Nicotine 54-11-5D, Nicotine, polymer complexes 54-11-5D, Nicotine, salts 54-21-7, Sodium salicylate 77-93-0, Triethyl citrate 87-33-2, Isosorbide dinitrate 92-13-7, Pilocarpine 137-58-6, Lidocaine 379-79-3 5104-49-4, Flurbiprofen 6190-39-2, Dihydroergotamine mesylate 9000-01-5, Gum Acacia 9000-30-0, Guar gum 9000-65-1, Gum tragacanth 9000-69-5, Pectin 9004-35-7, Acetyl cellulose 9004-38-0, Cellulose acetate phthalate 9004-57-3, Ethyl cellulose 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropylcellulose 9004-65-3, Hydroxypropylmethyl cellulose 9005-32-7, Alginic acid 9005-35-0, Calcium alginate 9005-38-3, Sodium alginate 9011-14-7, Methylmethacrylate, polymer 9012-76-4, Chitosan 11138-66-2, Xanthan gum 16051-77-7, Isosorbide mononitrate 21829-25-4, Nifedipine **25086-15-1**, Eudragit L-100 **25212-88-8**, Eudragit L 30D-55 26023-30-3, Lactic acid polymer, sru 26100-51-6, Lactic acid, polymer 34346-01-5, Glycolic acid-lactic acid copolymer 36322-90-4 39301-46-7, Calcium pectinate 99614-01-4, Ondansetron hydrochloride 103628-48-4, Sumatriptan succinate 134499-35-7, BycoE 185702-37-8, Eudragit NE-30 220307-57-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(buccal adhesive patches containing tannins and polymers for controlled release of biol. active agents)

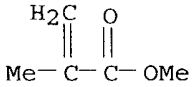
IT **25086-15-1**, Eudragit L-100 **25212-88-8**, Eudragit L 30D-55
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(buccal adhesive patches containing tannins and polymers for controlled release of biol. active agents)

RN 25086-15-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

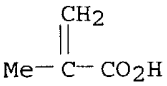
CM 1

CRN 80-62-6
CMF C5 H8 O2



CM 2

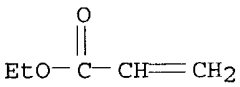
CRN 79-41-4
CMF C4 H6 O2



RN 25212-88-8 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with ethyl 2-propenoate (9CI) (CA INDEX NAME)

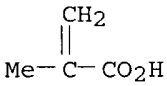
CM 1

CRN 140-88-5
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:501150 HCAPLUS
DOCUMENT NUMBER: 129:166204
TITLE: Pharmaceutical preparation comprising coated capsules or tablets containing a **liposome** powder encapsulating a drug
INVENTOR(S): Garces Garces, Josep; Bonilla Munoz, Angel; Parente Duena, Antonio
PATENT ASSIGNEE(S): Lipotec, S.A., Spain
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 855179	A2	19980729	EP 1997-500231	19971231
EP 855179	A3	19990324		
EP 855179	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ES 2130056	A1	19990616	ES 1997-73	19970116
ES 2130056	B1	20000201		
JP 10203964	A2	19980804	JP 1998-5926	19980114

PRIORITY APPLN. INFO.: ES 1997-73 A 19970116

AB A new pharmaceutical preparation to improve the oral bioavailability of difficult-to-absorb drugs comprising capsules or tablets coated with enteric material containing a freeze-dried or evaporated **liposome** powder incorporating a drug of pharmacol. benefit. A mixture of 800 mg cholesterol and 800 mg hydrogenated lecithin was added to 1.25 g nimesulide (I) and heated at 60° to obtain a suspension of **liposomes** incorporating I. The resulting **liposome** suspension was frozen and freeze-dried to obtain a freeze-dried preparation which was placed in hard gelatin capsules (114 mg in each capsule). The resulting capsules were coated with Eudragit L by repeated immersion in a solution of enteric polymer in isopropanol and subsequent drying in a current of air. The blood level of I in volunteers after 5 h was 7.31 as compared with 2.69 μg/mL.

IC ICM A61K009-127
ICS A61K009-48; A61K009-30

CC 63-6 (Pharmaceuticals)

ST pharmaceutical coated capsules tablet **liposome** powder

IT 5-HT antagonists
(5-HT3; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Immunoglobulins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(G; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Histamine receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(H2, inhibitors; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Nutrients
(anti-; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Protein receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(binding, estrogen; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Ion channel blockers
(calcium; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Drug delivery systems
(capsules, enteric-coated; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cell; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Imaging agents
(contrast, radiog.; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Toxins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diphtheria; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Lecithins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrogenated; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Drug delivery systems
(**liposomes**; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Anesthetics
(local; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Eye
Eye
Nervous system agents
Nervous system agents
(mydriatics; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Oligosaccharides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pentasaccharides; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Anti-inflammatory agents
Antibacterial agents
Antiglaucoma agents
Antitumor agents
Antiviral agents
Anxiolytics
Cardiovascular agents
Drug bioavailability
Dyes
Fluorescent substances
Fungicides
Immunostimulants
Immunosuppressants
Narcotics
Neurotransmitter antagonists
Parasiticides
Psychotropics
Vasodilators
(pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Acrylic polymers, biological studies
Albumins, biological studies
Disaccharides
Enzymes, biological studies
Estrogens
Glycoproteins, general, biological studies
Glycosaminoglycans, biological studies
Hormones, animal, biological studies
Immunoglobulins
Interferons

Interleukins
Lipoproteins
Monosaccharides
Neurotransmitters
Nucleic acids
Peptides, biological studies
Polynucleotides
Polysaccharides, biological studies
Prostaglandins
Proteins, general, biological studies
RNA
Radionuclides, biological studies
Salts, biological studies
Toxins
Vitamins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Alkaloids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quinolone; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Lecithins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(soya, hydrogenated; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Drug delivery systems
(tablets, enteric-coated; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Adrenoceptor antagonists
(α-; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT Adrenoceptor antagonists
(β-; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT 9015-82-1
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

IT 50-02-2, Dexamethasone 50-07-7, Mitomycin c 50-28-2, Estradiol, biological studies 51-84-3, Acetylcholine, biological studies 53-86-1, Indomethacin 57-22-7 57-63-6, 17-Ethynyl estradiol 57-83-0, Progesterone, biological studies 57-88-5, Cholesterol, biological studies 59-02-9, α-Tocopherol 59-05-2, Methotrexate 65-71-4, Thymine 68-19-9, Vitamin b12 76-57-3, Codeine 92-13-7, Pilocarpine 137-58-6, Lidocaine 439-14-5, Diazepam 865-21-4, Vinblastine 1397-89-3, Amphotericin b 1400-61-9, Nystatin 1403-66-3, Gentamycin 1406-05-9, Penicillin 1668-00-4, ArsenazoIII 7440-36-0D, Antimony, derivs., biological studies 7681-49-4, Sodium fluoride, biological studies 7720-78-7, Iron II sulfate 8001-27-2, Hirudin 9001-05-2, Catalase 9004-10-8, Insulin, biological studies 9004-34-6D, Cellulose, derivs., biological studies 9004-38-0, Cellulose acetophthalate 9004-61-9, Hyaluronic acid 9005-49-6, Heparin, biological studies 9005-79-2, Glycogen, biological studies 9034-40-6, Lhrh 11111-12-9, Cephalosporins 12629-01-5, Human growth hormone 13292-46-1, Rifampicin 14762-75-5, Carbon 14, biological studies 15663-27-1, Cisplatin 15687-27-1 20830-81-3, Daunorubicin 21215-62-3, Human calcitonin 22204-53-1, Naproxen 22916-47-8 23214-92-8, Doxorubicin 24967-93-9,

Chondroitin 4 sulfate 24967-94-0, Dermatan sulfate 25316-40-9, Adriamycin 25322-46-7, Chondroitin 6 sulfate **26589-39-9**, Eudragit s 33434-24-1, Eudragit rs 36322-90-4, Pyroxycam 38194-50-2, Sulindac 41621-49-2, Ciclopirox olamine 47931-85-1, Salmon calcitonin 51110-01-1, Somatostatin 51803-78-2, Nimesulide 51822-44-7, Eudragit l 52028-35-0, Technetium 90, biological studies 59277-89-3, Acyclovir 59865-13-3, Cyclosporin a 60731-46-6, Carbocalcitonin 64211-45-6, Oxiconazole 64872-76-0, Butaconazole 65472-88-0, Naftifine 66376-36-1, Alendronic acid 66419-50-9, Bovine growth hormone 69558-55-0, Thymopentin 72088-94-9, Carboxyfluorescein 72479-26-6, Fenticonazole 74103-06-3, Ketorolac 84625-61-6, Itraconazole 84697-21-2, Zinoconazole 85721-33-1, Ciprofloxacin 86386-73-4 126467-48-9, Porcine growth hormone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

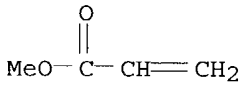
IT **26589-39-9**, Eudragit s
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical preparation comprising coated capsules or tablets containing **liposome** powder encapsulating drug)

RN 26589-39-9 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-propenoate (9CI) (CA INDEX NAME)

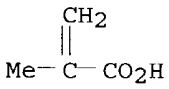
CM 1

CRN 96-33-3
CMF C4 H6 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



L41 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:138221 HCAPLUS
DOCUMENT NUMBER: 126:242711
TITLE: The challenge of proteolytic enzymes in intestinal peptide delivery
AUTHOR(S): Langguth, P.; Bohner, V.; Heizmann, J.; Merkle, H. P.; Wolffram, S.; Amidon, G. L.; Yamashita, S.
CORPORATE SOURCE: Department of Pharmacy, ETH Zurich, Winterthurerstrasse 190, Zurich, CH-8057, Switz.
SOURCE: Journal of Controlled Release (1997), 46(1,2), 39-57
CODEN: JCREEC; ISSN: 0168-3659

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The former general belief that all peptides and proteins are entirely decomposed in the gastrointestinal tract before absorption occurs turns out to be a misconception. Today several lines of evidence suggest that some proteins and peptides are capable of traversing the intestinal epithelium in intact form, however with yet unpredictable and often insufficient bioavailability, due to severe presystemic degradation in the gastrointestinal tract. Initial steps in the development of drug delivery systems for peroral peptide and protein administration involve systematic case by case investigations on proteolytic degradation mechanisms and kinetics as well as segmental differences in degradation rate and intestinal permeability using a variety of techniques such as incubations with pancreatic enzymes, mucosal homogenates, brush-border membrane **vesicles**, intestinal rings and perfusion expts. LHRH agonists, e.g. buserelin and immunoactive thymopoietin fragments are examples of compds. readily degraded by pancreatic trypsin, chymotrypsin and carboxypeptidases whereas metkephamid, a pentapeptide has been shown to completely resist proteases of pancreatic origin. Investigations on brush-border membrane-catalyzed degradation of several enkephalin analogs demonstrate the versatility of the enzyme systems involved in the degradation and also the saturability of the reaction rate. The latter findings imply that at higher peptide doses (concns.) the fraction absorbed can be expected to increase due to a saturability of the degradation process. For proteolytically labile compds., appropriate means to stabilize the mol. within the gastrointestinal tract are mandatory in order to improve the fraction absorbed unchanged. These may involve a stabilization of the mol. itself, e.g. by inserting unnatural D-amino acids into the mol., N-methylation of peptide bonds or cyclization, examples of which are presented. On the other hand, coadministration of protease inhibitors may significantly enhance the bioavailability of a proteolytically labile peptide. A delivery system is presented which simultaneously releases a peptide together with an aminopeptidase inhibitor and a pH-modifier in the lower gastrointestinal tract, resulting in an improvement in absolute bioavailability from 0.2% to 4%.

CC 63-5 (Pharmaceuticals)

IT **25086-15-1**, Eudragit S100
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coating; proteolytic enzymes in intestinal peptide delivery)

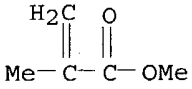
IT **25086-15-1**, Eudragit S100
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coating; proteolytic enzymes in intestinal peptide delivery)

RN 25086-15-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

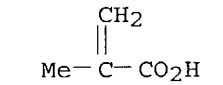
CM 1

CRN 80-62-6
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



L41 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:546956 HCAPLUS
DOCUMENT NUMBER: 122:274119
TITLE: Hydrophobic polymeric pharmaceutical microparticles
INVENTOR(S): Andrianov, Alexander K.; Langer, Robert S.
PATENT ASSIGNEE(S): Virus Research Institute, USA; Massachusetts Institute of Technology
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9508320	A1	19950330	WO 1994-US10692		19940921
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ, VN					
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
US 5500161	A	19960319	US 1993-124816		19930921
CA 2172040	AA	19950330	CA 1994-2172040		19940921
AU 9478001	A1	19950410	AU 1994-78001		19940921
EP 720471	A1	19960710	EP 1994-928640		19940921
EP 720471	B1	20010418			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
AT 200616	E	20010515	AT 1994-928640		19940921
PT 720471	T	20010830	PT 1994-928640		19940921
ES 2159305	T3	20011001	ES 1994-928640		19940921
GR 3036224	T3	20011031	GR 2001-401075		20010713
PRIORITY APPLN. INFO.:			US 1993-124816	A	19930921
			WO 1994-US10692	W	19940921

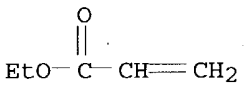
AB A method for the preparation of microparticles, and the product thereof, that include dispersing a substantially water insol. non-ionic or ionic polymer in an aqueous solution in which the substance to be delivered is also dissolved, dispersed or suspended, and then coagulating the polymer together with the substance by impact forces to form a microparticle. In an alternative embodiment, the microparticle is formed by coagulation of an aqueous polymeric dispersion through the use of electrolytes, pH changes, organic solvents in low concns. (the minimal amount necessary to break up the dispersion), or temperature changes to form polymer matrixes encapsulating biol. materials. Thus 60 mg of fluorescein-labeled bovine serum albumin was dissolved in 3 mL of 30% aqueous solution dispersion of Eudragite NE 30D and then spraying the

aqueous dispersion in a flask containing 200 mL of deionized water using Turbotack
air-atomizing nozzle. The flow rate of the polymeric dispersion was 150μL/min, the air pressure was 25 psi, and the distance between the nozzle and surface of water was 30 cm. The resulting microparticles were spherical with an average diameter of 1-10 μm and encapsulation efficiency of 65%.

IC ICM A61K009-16
CC 63-6 (Pharmaceuticals)
IT Pharmaceutical dosage forms
(**liposomes**, hydrophobic polymeric pharmaceutical microparticles)
IT 79-10-7D, Acrylic acid, esters, polymers 79-41-4D, Methacrylic acid, esters, polymers 9002-89-5, Polyvinyl alcohol 9003-05-8, Polyacrylamide 9003-39-8, Pvp 9010-88-2, Ethyl acrylate-methyl methacrylate copolymer 24980-41-4, Polycaprolactone 25014-12-4, Polymethacrylamide **25212-88-8**, Ethyl acrylate-methacrylic acid copolymer 25248-42-4, Polycaprolactone 25249-16-5 25322-68-3, Peg 26009-03-0, Polyglycolic acid 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] 26100-51-6, Polylactic acid 26124-68-5, Polyglycolic acid 34346-01-5, Glycolic acid-lactic acid copolymer 52352-27-9, Poly(hydroxybutyric acid)
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrophobic polymeric pharmaceutical microparticles)
IT **25212-88-8**, Ethyl acrylate-methacrylic acid copolymer
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrophobic polymeric pharmaceutical microparticles)
RN 25212-88-8 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with ethyl 2-propenoate (9CI) (CA INDEX NAME)

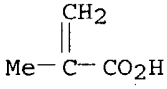
CM 1

CRN 140-88-5
CMF C5 H8 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



L41 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:610578 HCAPLUS
DOCUMENT NUMBER: 119:210578
TITLE: Oral mucosal adhesive ointment containing

liposomal corticosteroid

AUTHOR(S): Sveinsson, Stefan J.; Holbrook, W. Peter
CORPORATE SOURCE: Dep. Pharm., Univ. Iceland, Reykjavik, IS-101, Iceland
SOURCE: International Journal of Pharmaceutics (1993), 95(1-3), 105-9
CODEN: IJPHDE; ISSN: 0378-5173
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A copolymer of methacrylic acid and methacrylic acid Me ester (Eudispert) was used to formulate a mucoadhesive ointment. **Liposomes** containing triamcinolone acetonide were incorporated into (a) the Eudispert ointment, which contains 11% (weight/weight) of the neutralized polymer and 0.5% (weight/weight) gelatin, and (b) Orabase. The in vitro drug release and dissoln. behavior of these formulations were investigated. A clin. trial is currently being carried out and the initial findings indicate that the **liposomal** formulations are well tolerated and no local irritation has been observed

CC 63-6 (Pharmaceuticals)

ST Eudispert mucoadhesive ointment corticosteroid **liposome**; oral ulcer mucoadhesive ointment corticosteroid **liposome**

IT Corticosteroids, biological studies
RL: BIOL (Biological study)
(**liposome**-encapsulated, oral mucoadhesive ointment containing)

IT Solution rate
(of corticosteroid, from **liposomes** in oral mucoadhesive ointment)

IT Gelatins, biological studies
RL: BIOL (Biological study)
(oral mucoadhesive ointment for **liposomal** corticosteroid containing)

IT Mouth
(disease, stomatitis, ulcerative, treatment of, bioadhesive ointment containing **liposomal** corticosteroid for)

IT Mouth
(disease, ulcer, inflammatory, treatment of, bioadhesive ointment containing **liposomal** corticosteroid for)

IT Pharmaceutical dosage forms
(**liposomes**, of corticosteroid, for oral mucoadhesive ointment)

IT Mouth
(mucosa, bioadhesive ointment containing **liposomal** corticosteroid for)

IT Pharmaceutical dosage forms
(ointments, oral mucoadhesive, **liposomal** corticosteroid in)

IT 76-25-5, Triamcinolone acetonide
RL: BIOL (Biological study)
(**liposome**-encapsulated, oral mucoadhesive ointment containing)

IT **25086-15-1**
RL: BIOL (Biological study)
(oral mucoadhesive ointment for **liposomal** corticosteroid containing)

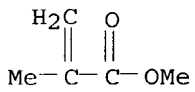
IT **25086-15-1**
RL: BIOL (Biological study)
(oral mucoadhesive ointment for **liposomal** corticosteroid containing)

RN 25086-15-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 80-62-6
CMF C5 H8 O2



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CRN 79-41-4
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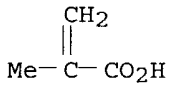


L41 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:167600 HCAPLUS
DOCUMENT NUMBER: 110:167600
TITLE: Comparison of synchrotron and laser sources in x-ray contact microscopy of metal-contaminated biological tissue
AUTHOR(S): Richards, K. Sylvia; Rush, A. D.; Clarke, D. T.; Myring, W. J.
CORPORATE SOURCE: Dep. Biol. Sci., Univ. Keele, Keele, ST5 5BG, UK
SOURCE: Nuclear Instruments & Methods in Physics Research, Section A: Accelerators, Spectrometers, Detectors, and Associated Equipment (1988), A272(3), 889-94
CODEN: NIMAER; ISSN: 0168-9002
DOCUMENT TYPE: Journal
LANGUAGE: English

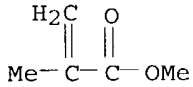
AB Soft x-ray contact imaging of ultrasections of lead- and cadmium-contaminated earthworm chloragogenous tissue has been achieved with both synchrotron and laser sources. Resolution of .simeq.70 nm was obtained with the synchrotron radiation source (SRS). The heterogeneous lead deposits associated with the chloragosome granules in highly polluted tissue imaged precisely with the SRS using a methacrylic copolymer (PM) type resist, thus producing a lead map, confirmed by x-ray microanal. Less satisfactory images of the lead localization were obtained with the laser source using a terpolymer (TP) resist, and when the TP resist was used in the SRS, tissue with lower lead levels was also imaged, as was tissue contaminated with cadmium. In this latter case, the SRS and laser source gave comparable images using TP resists, the granules imaging clearly but the cadmium, present in **vesicles** within the cytoplasm, was not resolved, though a suggestion of its localization was obtained with the SRS and the PM type resist.

CC 4-1 (Toxicology)
IT **25086-15-1** 92940-45-9
RL: BIOL (Biological study)
(in x-ray contact microscopy of metal-contaminated biol. tissues)
IT **25086-15-1**

RL: BIOL (Biological study)
(in x-ray contact microscopy of metal-contaminated biol. tissues)
RN 25086-15-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 80-62-6
CMF C5 H8 O2



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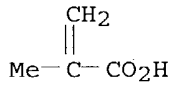
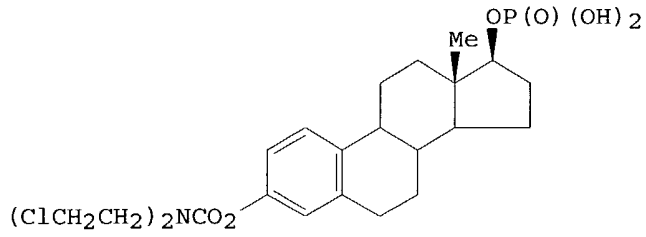


L41 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:537043 HCAPLUS
DOCUMENT NUMBER: 101:137043
TITLE: Microfine particles having target-seeking properties
INVENTOR(S): Yoshida, Masaru; Asano, Masaharu; Kaetsu, Isao; Nakai, Katsuyuki; Yamanaka, Hidetoshi; Shida, Keizo
PATENT ASSIGNEE(S): Aktiebolag Leo, Swed.
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8402270	A1	19840621	WO 1983-SE440	19831209
W: US				
RW: AT, BE, CH, DE, FR, GB, LU, NL, SE				
JP 59108800	A2	19840623	JP 1982-218134	19821213
JP 01000926	B4	19890110		
EP 128186	A1	19841219	EP 1984-900138	19831209
R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE				

PRIORITY APPLN. INFO.: JP 1982-218134 A 19821213
GI



I

AB Target-seeking microfine particles contain Estracyt (I) bound to the reactive site of a carrier polymer particle having functional groups such as CHO, Cl, NH2, CO2H, OH, NCO, and epoxy. The particles retain the target-seeking property of I and slowly release the entrapped cancer control agent. The polymer particles are also bound to I after other cancer control agents are entrapped in the particles. Glycidyl methacrylate-trimethylolpropane trimethacrylate particles were dispersed in phosphate buffer and I was added to a concentration of 30%. At 4°, ethylenediamine and a water-soluble carbidiimidee were added to give polymer bound I. The average sizes of I-bound particles taken up by the ventral prostate, dorsolateral prostate and seminal **vesicle** were 10, and 3 μm, resp., 1 wk after administration of 30 mg particles to rats.

IC A61K009-14; A61K009-50

CC 63-6 (Pharmaceuticals)

IT **25322-25-2DP**, reaction products with estradiol bis(chloroethyl)carbamate phosphate
RL: PREP (Preparation)
(graft, preparation of, as target-seeking antitumor agents)

IT **25322-25-2DP**, reaction products with estradiol bis(chloroethyl)carbamate phosphate
RL: PREP (Preparation)
(graft, preparation of, as target-seeking antitumor agents)

RN 25322-25-2 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with 2-propenoic acid (9CI) (CA INDEX NAME)

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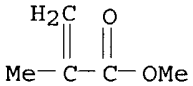
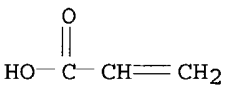
CRN 80-62-6
CMF C5 H8 O2



CM 2

CRN 79-10-7
CMF C3 H4 O2



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L11             STR
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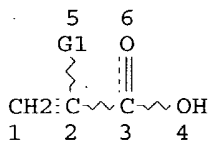


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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   6

STEREO ATTRIBUTES: NONE
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L15         5961 SEA FILE=REGISTRY SUB=L13 SSS FUL L11
L19              STR
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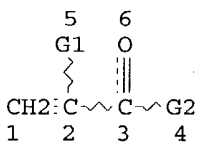


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VAR G2=7/H/S/N/C
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CONNECT IS E2  RC AT   7
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   7

STEREO ATTRIBUTES: NONE
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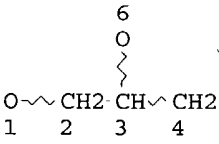


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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   6
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STEREO ATTRIBUTES: NONE
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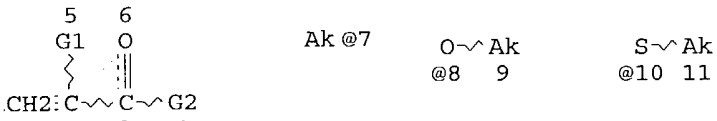
L34 STR



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VAR G2=H/7/8/SH/10
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CONNECT IS E1 RC AT 9
CONNECT IS E2 RC AT 10
CONNECT IS E1 RC AT 11
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
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L40 53 SEA FILE=HCAPLUS ABB=ON PLU=ON L21 AND (LIPOSOM? OR VESICL? OR FUSOGEN?)
L41 20 SEA FILE=HCAPLUS ABB=ON PLU=ON (L27 OR L35) AND (LIPOSOM? OR VESICL? OR FUSOGEN?)
L44 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L40 NOT L41

=> d 144 ibib ab hitind hitstr 1-33

L44 ANSWER 1 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:904314 HCAPLUS
DOCUMENT NUMBER: 141:362802
TITLE: Sensors having gel which shows volume change upon (bio)chemical stimulation and indicators for rapid analysis of blood, urine, etc.
INVENTOR(S): Suzuki, Hiroaki; Abe, Hiroshi; Yamamoto, Kazuyoshi; Ishii, Tetsuya
PATENT ASSIGNEE(S): Sekisui Integrated Research K. K., Japan; Sekisui Chemical Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004301530	A2	20041028	JP 2003-91694	20030328

PRIORITY APPLN. INFO.: JP 2003-91694 20030328
AB A sensor, which is disposable and useful for rapid and simple determination of chemical substances in blood, saliva, urine, etc., comprises (a) a gel whose

volume is changed upon (bio)chemical stimulation, (b) an indicator which induces no volume change of the gel when contacted with the gel, and (c) a channel, wherein the indicator is arranged in the channel so that it contacts with the gel at one end and moves in the channel according to stimulation-induced volume change of the gel. Thus, a monomer solution containing
N-isopropylacrylamide, acrylic acid, methylenebisacrylamide, tetramethylethylenediamine, and glucose oxidase was polymerized, cooled to 0°, and soaked in a Tris-HCl buffer (pH 7.0) to give a pH-sensitive gel. When the gel arranged into the sensor is contacted with glucose in a sample, gluconic acid produced in the gel lowers pH and protonates carboxy groups of the polymer, thus shrinking the gel and inducing change in length of q liquid column in the channel.

IC ICM G01N033-00
ICS C12M001-34; C12M001-40; G01N033-544; C08L033-26; G01N033-483; G01N033-66

CC 9-16 (Biochemical Methods)

IT **Liposomes**
Microcapsules
((bio)chemical stimulant-containing, gel-supported analyte receptors bound to;
sensor having gel whose volume is changed upon (bio)chemical stimulation, channel, and indicator moving in channel according to volume change of gel)

IT **79042-19-6**, Acrylic acid-N-isopropylacrylamide copolymer
760974-77-4
RL: ARU (Analytical role, unclassified); DEV (Device component use); DGN (Diagnostic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(sensor having gel whose volume is changed upon (bio)chemical stimulation, channel, and indicator moving in channel according to volume change of gel)

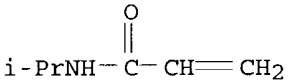
IT **79042-19-6**, Acrylic acid-N-isopropylacrylamide copolymer
RL: ARU (Analytical role, unclassified); DEV (Device component use); DGN (Diagnostic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(sensor having gel whose volume is changed upon (bio)chemical stimulation, channel, and indicator moving in channel according to volume change of gel)

RN 79042-19-6 HCAPLUS

CN 2-Propenoic acid, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

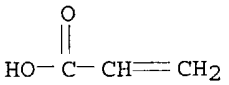
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-10-7
CMF C3 H4 O2



L44 ANSWER 2 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:454906 HCAPLUS
DOCUMENT NUMBER: 141:337337
TITLE: Stimuli-responsive **liposome**-polymer complexes, toward the design of intelligent drug carriers
AUTHOR(S): Roux, Emmanuelle; Francis, Mira; Winnik, Francoise M.; Leroux, Jean-Christophe
CORPORATE SOURCE: Canada Research Chair in Drug Delivery, Faculty of Pharmacy, Universite de Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: ACS Symposium Series (2004), 879(Carrier-Based Drug Delivery), 26-39
CODEN: ACSMC8; ISSN: 0097-6156
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Drug delivery systems capable of releasing active compds. in response to stimuli such as pH or temperature changes have attracted increasing interest in recent years. Among these systems, pH-sensitive **liposomes** have been studied extensively. These **vesicles** are generally stable at neutral pH and become leaky and/or **fusogenic** under acidic conditions. In this work, we describe the preparation of pH-sensitive phospholipid (**liposomes**) and non-phospholipid **vesicles** (niosomes) through the formation of pH-sensitive polymer/bilayer complexes. The **vesicles** are characterized with respect to their pH-sensitivity, stability in serum, pharmacokinetics and in vitro ability to deliver a model compound to the cytoplasm.

CC 63-0 (Pharmaceuticals)
ST review isopropylacrylamide copolymer phospholipid **liposome** niosome
IT Phosphatidylcholines, biological studies
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(egg; stimuli-responsive **liposome**-polymer complexes)
IT Drug delivery systems
(**liposomes**; stimuli-responsive **liposome**-polymer complexes)
IT Drug delivery systems
(niosomes; stimuli-responsive **liposome**-polymer complexes)
IT Dissolution
Phase transition temperature
pH
(stimuli-responsive **liposome**-polymer complexes)
IT Phospholipids, biological studies
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stimuli-responsive **liposome**-polymer complexes)
IT **151954-97-1P** 202185-76-0P 374595-83-2P 521958-93-0P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(stimuli-responsive **liposome**-polymer complexes)

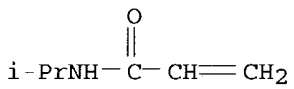
IT 57-88-5, Cholesterol, biological studies 1461-15-0, Calcein 4539-70-2, Distearoylphosphatidylcholine
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stimuli-responsive **liposome**-polymer complexes)

IT **151954-97-1P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stimuli-responsive **liposome**-polymer complexes)

RN 151954-97-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

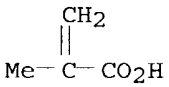
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 3 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:417134 HCAPLUS
DOCUMENT NUMBER: 141:301245
TITLE: Preparation and characterization of water-soluble pH-sensitive nanocarriers for drug delivery
AUTHOR(S): Dufresne, M.-H.; Le Garrec, D.; Sant, V.; Leroux, J.-C.; Ranger, M.
CORPORATE SOURCE: Faculty of Pharmacy, University of Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: International Journal of Pharmaceutics (2004), 277(1-2), 81-90
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PH-sensitive drug delivery systems can be engineered to release their contents or change their physicochem. properties in response to variations in the acidity of the surroundings. The present work describes the preparation

and characterization of novel polymeric micelles (PM) composed of amphiphilic pH-responsive poly(N-isopropylacrylamide) (PNIPAM) or poly(alkyl(meth)acrylate) derivs. On one hand, acidification of the PNIPAM copolymers induces a coil-to-globule transition that can be exploited to destabilize the intracellular **vesicle** membranes. In this work, PNIPAM-based PM were loaded with either doxorubicin or aluminum chloride phthalocyanine and their cytotoxicity was assessed in murine tumoral models. On the other hand, poly(alkyl(meth)acrylate) copolymers can be designed to interact with either hydrophobic drugs or polyions and release their cargo upon an increase in pH.

CC 63-6 (Pharmaceuticals)

IT **151954-97-1P** 374595-82-1P 374595-83-2P 478408-04-7P 484698-47-7P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and characterization of water-soluble pH-sensitive nanocarriers for drug delivery)

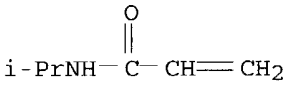
IT **151954-97-1P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and characterization of water-soluble pH-sensitive nanocarriers for drug delivery)

RN 151954-97-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

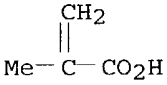
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 4 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:61499 HCAPLUS
DOCUMENT NUMBER: 141:128643
TITLE: Serum-stable and long-circulating, PEGylated, pH-sensitive **liposomes**
AUTHOR(S): Roux, Emmanuelle; Passirani, Catherine; Scheffold, Stefanie; Benoit, Jean-Pierre; Leroux, Jean-Christophe

CORPORATE SOURCE: Faculty of Pharmacy, Canada Research Chair in Drug Delivery, Universite de Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: Journal of Controlled Release (2004), 94(2-3), 447-451
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PH-sensitive **liposomes** were prepared using a terminally-alkylated copolymer of N-isopropylacrylamide (NIPAM) and methacrylic acid (MAA) and poly(ethylene glycol) (PEG) phospholipid derivative The pH-triggered content release was evaluated before and after incubation in serum. Pharmacokinetic and biodistribution profiles of the formulations were established in rats. This study showed that a pH-sensitive, serum-stable and long-circulating **liposomal** formulation can be produced.

CC 63-6 (Pharmaceuticals)

ST PEGylated pH sensitive **liposome**

IT Drug delivery systems
(**liposomes**; serum-stable and long-circulating, PEGylated, pH-sensitive **liposomes**)

IT Dissolution
(serum-stable and long-circulating, PEGylated, pH-sensitive **liposomes**)

IT Phosphatidylcholines, biological studies
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serum-stable and long-circulating, PEGylated, pH-sensitive **liposomes**)

IT **151954-97-1D**, dioctadecylamide-terminated 170931-04-1, DSPE-PEG
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serum-stable and long-circulating, PEGylated, pH-sensitive **liposomes**)

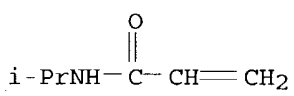
IT **151954-97-1D**, dioctadecylamide-terminated
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serum-stable and long-circulating, PEGylated, pH-sensitive **liposomes**)

RN 151954-97-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

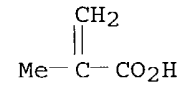
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 5 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:45944 HCAPLUS
DOCUMENT NUMBER: 140:249669
TITLE: Study of molecular interactions between a phospholipidic layer and a pH-sensitive polymer using the Langmuir balance technique
AUTHOR(S): Petriat, Franck; Roux, Emmanuelle; Leroux, Jean Christophe; Giasson, Suzanne
CORPORATE SOURCE: Department of Chemistry and Faculty of Pharmacy, University of Montreal, Montreal, QC, G1K 7P4, Can.
SOURCE: Langmuir (2004), 20(4), 1393-1400
CODEN: LANGD5; ISSN: 0743-7463
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Mol. interactions between a terminally alkylated pH-sensitive N-isopropylacrylamide copolymer DODA-poly(NIPAM-co-MAA) and a monolayer of distearoylphosphatidylcholine (DSPC) at the air/water interface are investigated using the Langmuir balance technique. The compression isotherms of the copolymer monolayer at the air-water interface confirm that the copolymer undergoes a structural transition with a change in pH ranging from an extended coil state at neutral pH to a collapsed globular state at a pH corresponding to the pH of the polymer phase transition. Adsorption kinetics of DODA-poly(NIPAM-co-MAA) in the DSPC monolayer is analyzed using a first-order kinetics model allowing an effective interaction area Ax between DSPC and DODA-poly(NIPAM-co-MAA) mols. to be evaluated. The results clearly indicate that the interaction area increases with a decrease in pH. The results also suggest that the penetration of the DODA-poly(NIPAM-co-MAA) within the phospholipid monolayer is enhanced by a decrease in pH which causes a change in the copolymer structure and an increase in specific attractive interactions between the copolymer and the phospholipid. Therefore, the copolymer can trigger the destabilization or rupture of the phospholipidic layer through a simple variation in its structure associated with a variation in mol. interactions when coupled or inserted within the membrane. This study greatly supports the prospects of the copolymer-functionalized **liposomes** as stable and tunable carrier systems for in vivo applications in drug delivery.

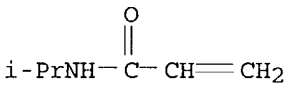
CC 9-16 (Biochemical Methods)
IT 816-94-4, Distearoylphosphatidylcholine 130468-56-3D, reaction products with isopropylacrylamide-methacrylic acid copolymer **151954-97-1D**, reaction products with 4,4'-azobis(4-cyano-N.N-dioctadecyl)pentanamide
RL: ARU (Analytical role, unclassified); PEP (Physical, engineering or chemical process); PYP (Physical process); ANST (Analytical study); PROC (Process)
(mol. interactions between a phospholipidic layer and pH-sensitive polymer using the Langmuir balance technique)
IT **151954-97-1D**, reaction products with 4,4'-azobis(4-cyano-N.N-dioctadecyl)pentanamide
RL: ARU (Analytical role, unclassified); PEP (Physical, engineering or

chemical process); PYP (Physical process); ANST (Analytical study); PROC (Process)
(mol. interactions between a phospholipidic layer and pH-sensitive polymer using the Langmuir balance technique)

RN 151954-97-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

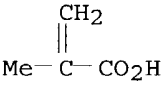
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 6 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:571124 HCAPLUS
DOCUMENT NUMBER: 139:127976
TITLE: Screening for antiviral agents based on inhibition of binding of nucleocapsid 7 protein to the ψ site oligonucleotide of HIV-1 RNA
INVENTOR(S): Beuchter, Douglas; Hou, Xiaohong; Marlor, Christopher W.; Rice, William G.; Yang, Wengang
PATENT ASSIGNEE(S): Achillion Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003060098	A2	20030724	WO 2003-US801	20030110

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003198648 A1 20031023 US 2003-339217 20030109

PRIORITY APPLN. INFO.: US 2002-347369P P 20020111

OTHER SOURCE(S): MARPAT 139:127976

AB The present invention relates to methods of identifying a mol. from a library of mols. that inhibits binding of human immunodeficiency virus nucleocapsid 7 polypeptide (NCp7) to an oligonucleotide comprising the ψ site of HIV-1 virus. Thus, an NCp7 polypeptide is admixed with at one labeled HIV-1 ψ-site oligonucleotide and an amount of the mol. to be tested under binding conditions. A decrease in the amount of oligonucleotide bound in the presence of the mol. compared with the amount of oligonucleotide bound in the absence of the mol. indicates that the mol. inhibits binding of NCp7 polypeptide to the oligonucleotide. The inhibiting agents may be used for treating HIV infection and/or inhibiting HIV viral replication (no data).

IC ICM C12N

CC 1-1 (Pharmacology)

IT Drug delivery systems
(**liposomes**; screening for antiviral agents based on inhibition of binding of nucleocapsid 7 protein to the ψ site oligonucleotide of HIV-1 RNA)

IT 9002-98-6 9003-01-4, Polyacrylic acid **9003-06-9**, Acrylic acid-acrylamide copolymer 9005-49-6, Heparin, analysis 24991-23-9 25014-15-7, Poly-2-vinylpyridine 25087-26-7, Polymethacrylic acid 25104-18-1, Poly(L-lysine) 25232-41-1, Poly-4-vinylpyridine 25322-68-3, Polyethylene oxide 25513-46-6, Poly(L-glutamic acid) 25736-32-7, Poly(DL-glutamic acid), SRU 26009-03-0, Poly(glycolic acid) 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] 26100-51-6, Poly(lactic acid) 26124-68-5, Poly(glycolic acid) 26913-65-5, Poly(DL-lysine), SRU 28501-18-0, Poly-3-vinylpyridine 28728-55-4, Polybrene 30602-14-3 38000-06-5, Poly(L-lysine) 49717-32-0, Poly(DL-glutamic acid) 60474-85-3 60474-87-5
RL: ARU (Analytical role, unclassified); ANST (Analytical study)
(blocking agent; screening for antiviral agents based on inhibition of binding of nucleocapsid 7 protein to the ψ site oligonucleotide of HIV-1 RNA)

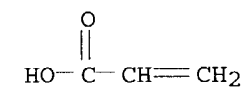
IT **9003-06-9**, Acrylic acid-acrylamide copolymer
RL: ARU (Analytical role, unclassified); ANST (Analytical study)
(blocking agent; screening for antiviral agents based on inhibition of binding of nucleocapsid 7 protein to the ψ site oligonucleotide of HIV-1 RNA)

RN 9003-06-9 HCAPLUS

CN 2-Propenoic acid, polymer with 2-propenamide (9CI) (CA INDEX NAME)

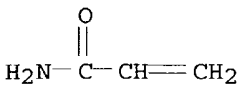
CM 1

CRN 79-10-7
CMF C3 H4 O2



CM 2

CRN 79-06-1
CMF C3 H5 N O



L44 ANSWER 7 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:666367 HCAPLUS
DOCUMENT NUMBER: 140:117084
TITLE: Characterization and control of stimuli-induced membrane fusion of **liposomes** in the presence of proteins and stimuli responsive polymers
AUTHOR(S): Felix, Matundu Menayame; Umakoshi, Hiroshi; Shimanouchi, Toshinori; Yoshimoto, Makoto; Kuboi, Ryoichi
CORPORATE SOURCE: Graduate School of Engineering Science, Department of Chemical Science and Engineering, Osaka University, 1-3 Machikaneyama-cho, Toyonaka, Osaka, 560-8531, Japan
SOURCE: Biochemical Engineering Journal (2002), 12(1), 7-19
CODEN: BEJOFV; ISSN: 1369-703X
PUBLISHER: Elsevier Science S.A.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The process of fusion of 1-palmitoyl-2-oleoyl-sn-glycero-3-phosphocholine (POPC) **liposomes** in the presence of stimuli responsive copolymers (poly(N-isopropylacrylamide-co-methacrylic acid) and poly(N-isopropylacrylamide-co-methacrylic acid-co-octadecylacrylamide)) or proteins (α-chymotrypsin (α-CT), bovine carbonic anhydrase (CAB), and β-galactosidase (β-gal)) was quant. characterized under the stimuli by varying pH and temperature After the **liposomes** were exposed to the specific pH and heat stimuli in the presence of the stimuli responsive polymers or proteins, the percentage of the fusion was determined by using two kinds of **liposomes** entrapping cobalt-calcein and EDTA (cobalt-calcein method). In the presence of stimuli responsive copolymers, the percentage of fusion was increased to 20% above the phase transition condition of the copolymer (above 37° and below pH 5.7). The percentage of fusion in the presence of proteins was varied under the stimuli, depending on the type of proteins. In the case of the α-CT, the maximal percentage of fusion was only 8%. The addition of the CAB and β-gal improved the percentage of fusion to 15 and 20%, resp., by selecting the optimal stimuli conditions. Although some disagreements were observed in the region of strong acidic conditions, it was found that the increase of the percentage of fusion was well corresponding with the increase of the membrane fluidity of the POPC **liposome**, followed by the increase of the local hydrophobicity of proteins or copolymers under the stimuli. Based on the above results, a possible model for the stimuli-induced fusion of POPC **liposome** membranes was finally presented.

CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 6
ST palmitoyloleoylglycero phosphocholine **liposome** protein polymer membrane fusion

IT Fusion, biological
Hydrophobicity
Liposomes
Membrane, biological
Temperature effects, biological
(characterization and control of stimuli-induced membrane fusion of **liposomes** in presence of proteins and stimuli responsive polymers)

IT Proteins
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(characterization and control of stimuli-induced membrane fusion of **liposomes** in presence of proteins and stimuli responsive polymers)

IT Drug delivery systems
(**liposomes**; characterization and control of stimuli-induced membrane fusion of **liposomes** in presence of proteins and stimuli responsive polymers)

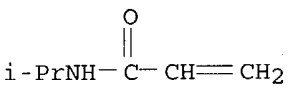
IT 9001-03-0, Carbonic anhydrase 9004-07-3, α-Chymotrypsin 9031-11-2, β-Galactosidase 26853-31-6, 1-Palmitoyl-2-oleoyl-sn-glycero-3-phosphocholine **151954-97-1**, N-Isopropylacrylamide-methacrylic acid copolymer 647864-71-9
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(characterization and control of stimuli-induced membrane fusion of **liposomes** in presence of proteins and stimuli responsive polymers)

IT **151954-97-1**, N-Isopropylacrylamide-methacrylic acid copolymer
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(characterization and control of stimuli-induced membrane fusion of **liposomes** in presence of proteins and stimuli responsive polymers)

RN 151954-97-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

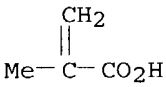
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2

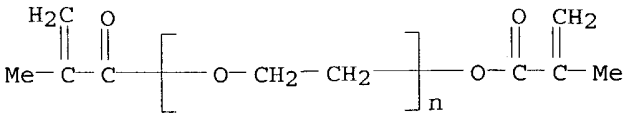


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 8 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:643299 HCAPLUS
DOCUMENT NUMBER: 137:370961
TITLE: Applications of lipid **vesicles**: drug delivery systems and templates for nanometer and micron sized structures
AUTHOR(S): Linhardt, Jeffrey George
CORPORATE SOURCE: Univ. of Massachusetts, Amherst, MA, USA
SOURCE: (2001) 169 pp. Avail.: UMI, Order No. DA3027225 From: Diss. Abstr. Int., B 2002, 62(10), 4574
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable
CC 38-3 (Plastics Fabrication and Uses)
Section cross-reference(s): 63
ST lipid **vesicle** polymer drug delivery system
IT Drug delivery systems
Nanotubes
Vesicles (colloidal)
(applications of lipid **vesicles** in drug delivery systems and templates for nanometer and micron sized structures)
IT Lipids, uses
RL: NUU (Other use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(applications of lipid **vesicles** in drug delivery systems and templates for nanometer and micron sized structures)
IT 25852-47-5P, Polyethylene glycol dimethacrylate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(applications of lipid **vesicles** in drug delivery systems and templates for nanometer and micron sized structures)
IT 62607-09-4P, Poly(2-ethylacrylic acid) **86944-80-1P**, Methacrylic acid-polyethylene glycol dimethacrylate copolymer
RL: SPN (Synthetic preparation); PREP (Preparation)
(applications of lipid **vesicles** in drug delivery systems and templates for nanometer and micron sized structures)
IT **86944-80-1P**, Methacrylic acid-polyethylene glycol dimethacrylate copolymer
RL: SPN (Synthetic preparation); PREP (Preparation)
(applications of lipid **vesicles** in drug delivery systems and templates for nanometer and micron sized structures)
RN 86944-80-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with α-(2-methyl-1-oxo-2-propenyl)-ω-[(2-methyl-1-oxo-2-propenyl)oxy]poly(oxy-1,2-ethanediyl) (9CI) (CA INDEX NAME)

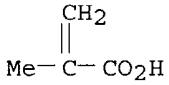
CM 1

CRN 25852-47-5
CMF (C2 H4 O)n C8 H10 O3
CCI PMS



CM 2

CRN 79-41-4
CMF C4 H6 O2



L44 ANSWER 9 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:628381 HCAPLUS
DOCUMENT NUMBER: 138:374001
TITLE: Polymer based pH-sensitive carriers as a means to improve the cytoplasmic delivery of drugs
AUTHOR(S): Roux, Emmanuelle; Francis, Mira; Winnik, Francoise M.; Leroux, Jean-Christophe
CORPORATE SOURCE: Canada Research Chair in Drug Delivery, Universite de Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: International Journal of Pharmaceutics (2002), 242(1-2), 25-36
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

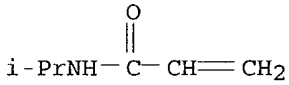
AB PH-sensitive niosomal and **liposomal** formulations bearing alkylated N-isopropylacrylamide (NIPAM) copolymers were characterized with regard to **vesicle**-polymer interaction, pH-responsiveness and stability in human serum. The interactions between the pH-sensitive NIPAM copolymer and the **vesicles** were studied by spectrofluorimetry, using covalently-attached pyrene as a probe. In contrast to **liposomes**, where complexation of copolymer to the lipid bilayer is essentially mediated by hydrophobic interactions, the binding between niosomes and PNIPAM was mainly driven by hydrogen bonding. Both formulations were found to rapidly release their contents under mildly acidic conditions. However, the niosomes lost their pH-sensitivity after incubation in serum, whereas **liposomes** maintained their ability to respond to pH only when complexed with a copolymer containing a high proportion of hydrophobic anchor. The ability of pH-sensitive **liposome**/polymer complexes to enhance the cytotoxicity of cytosine arabinofuranoside (ara-C) was evaluated in vitro using macrophage-like J774 cells. Ara-C encapsulated in pH-sensitive **liposomes** exhibited a higher cytotoxicity than the control formulation. This study showed that both niosomes and **liposomes** can be rendered pH-sensitive by anchoring a randomly-alkylated NIPAM copolymer to their surface. The interactions that take place between the polymer and the **vesicles** strongly depend on the **vesicle** nature. pH-sensitive PNIPAM-based **liposomes** can improve the in vitro efficiency of ara-C.

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 35, 36
ST acrylamide niosome **liposome** cytoplasm
IT Drug delivery systems
(**liposomes**; polymer based pH-sensitive carriers as a means to improve the cytoplasmic delivery of drugs)
IT 6358-69-6, HPTS **151954-97-1D**, dioctadecylamide derivs.
224784-85-4 374595-83-2 521958-93-0
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymer based pH-sensitive carriers as a means to improve the cytoplasmic delivery of drugs)
IT **151954-97-1D**, dioctadecylamide derivs.
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymer based pH-sensitive carriers as a means to improve the cytoplasmic delivery of drugs)
RN 151954-97-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

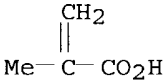
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 10 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:589713 HCAPLUS
DOCUMENT NUMBER: 138:292546
TITLE: Steric stabilization of **liposomes** by pH-responsive N-isopropylacrylamide copolymer
AUTHOR(S): Roux, Emmanuelle; Stomp, Romain; Giasson, Suzanne; Pezolet, Michel; Moreau, Pierre; Leroux, Jean-Christophe
CORPORATE SOURCE: Canada Research Chair in Drug Delivery, Faculty of Pharmacy, Universite de Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: Journal of Pharmaceutical Sciences (2002), 91(8), 1795-1802

CODEN: JPMSAE; ISSN: 0022-3549
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The aim of this study was to characterize a pH-sensitive **liposome** formulation bearing a terminally alkylated N-isopropylacrylamide (NIPAM) copolymer with regard to its pH responsiveness, surface properties, and pharmacokinetics. The interacting forces between two lipid bilayers bearing the anchored NIPAM copolymer were measured with a surface force apparatus The pH-triggered content release was evaluated in buffer before and after incubation in human serum. The pharmacokinetics was determined in rats following the i.v. injection of 67Ga-loaded **liposomes** with or without the polymer coating. The force measurements between lipid bilayers showed that NIPAM copolymers provide a steric barrier that was dependent on pH. The pH-sensitive **liposomes** maintained their pH sensitivity after incubation in serum. In vivo, the polymer-coated **liposomes** exhibited a prolonged circulation time in rats, with an area under the blood concentration-time curve that is 1.6-fold higher than the control formulation. This study showed that **liposomes** can be rendered pH sensitive by anchoring a terminally alkylated NIPAM copolymer at their surface. At neutral pH, the polymer provides a steric barrier that increases the **liposome** circulation time in vivo.

CC 63-5 (Pharmaceuticals)

ST steric stabilization pH sensitive **liposome** isopropylacrylamide copolymer

IT Drug delivery systems
(**liposomes**; steric stabilization of **liposomes** by pH-responsive isopropylacrylamide copolymer)

IT pH
(sensitive **liposomes**; steric stabilization of **liposomes** by pH-responsive isopropylacrylamide copolymer)

IT Dissolution
Human
(steric stabilization of **liposomes** by pH-responsive isopropylacrylamide copolymer)

IT 130468-56-3D, reaction products with isopropylacrylamide-methacrylic acid copolymers **151954-97-1D**, reaction products with azobis(4-cyanodioctadecyl)pentanamide
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(steric stabilization of **liposomes** by pH-responsive isopropylacrylamide copolymer)

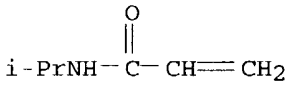
IT **151954-97-1D**, reaction products with azobis(4-cyanodioctadecyl)pentanamide
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(steric stabilization of **liposomes** by pH-responsive isopropylacrylamide copolymer)

RN 151954-97-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

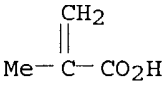
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



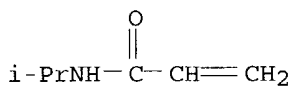
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 11 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:587410 HCAPLUS
DOCUMENT NUMBER: 137:290650
TITLE: Characterization of stimuli-induced membrane fusion of **liposomes**
AUTHOR(S): Felix, Matundu Menayame; Shimanouchi, Toshinori; Umakoshi, Hiroshi; Yoshimoto, Makoto; Kuboi, Ryoichi
CORPORATE SOURCE: Department of Chemical Science and Engineering, Graduate School of Engineering Science, Osaka University, Toyonaka, 560-8531, Japan
SOURCE: Kagaku Kogaku Ronbunshu (2002), 28(4), 481-484
CODEN: KKRBAW; ISSN: 0386-216X
PUBLISHER: Kagaku Kogakkai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB The phenomena of aggregation and fusion of **liposome** membranes under the stimuli conditions (heat and pH) were shown to be triggered by the conformational change of the stimuli-responsive polymers and proteins. It is found that the percentage of **liposome** fusion and the **liposome** size under the specific stimuli condition were well corresponding with the capacity factor obtained from the peak shift of the elution profile on the immobilized **liposome** chromatog.
CC 6-5 (General Biochemistry)
ST **liposome** membrane fusion stimuli polymer protein
IT Membrane, biological
(bilayer; characterization of stimuli-induced membrane fusion of **liposomes**)
IT Fusion, biological
Heating
Immobilization, molecular or cellular
Liposomes
Partition
pH
(characterization of stimuli-induced membrane fusion of **liposomes**)
IT Polymers, biological studies
Proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of stimuli-induced membrane fusion of

liposomes)
IT Chromatography
(immobilized **liposome**; characterization of stimuli-induced membrane fusion of **liposomes**)
IT 9001-63-2, Lysozyme 9004-07-3, α-Chymotrypsin 62600-81-1
151954-97-1, N-Isopropylacrylamide-methacrylic acid copolymer
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of stimuli-induced membrane fusion of **liposomes**)
IT 9001-03-0, Carbonic anhydrase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(guanidine hydrochloride-denatured; characterization of stimuli-induced membrane fusion of **liposomes**)
IT **151954-97-1**, N-Isopropylacrylamide-methacrylic acid copolymer
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of stimuli-induced membrane fusion of **liposomes**)
RN 151954-97-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

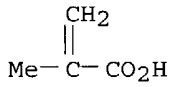
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



L44 ANSWER 12 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:571542 HCAPLUS
DOCUMENT NUMBER: 137:129881
TITLE: Polymeric, pH-sensitive, serum-stable **liposomes**
INVENTOR(S): Papahadjopoulos, Demetrios; Meyer, Olivier; Leroux, Jean-Christophe
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6426086	B1	20020730	US 1999-243098		19990202
PRIORITY APPLN. INFO.:			US 1998-73471P	P	19980203

AB A pH-sensitive, serum-stable **liposome** loaded with an agent and having a lipid bilayer, complexed with a mol. comprising (a) a thermally-sensitive polymer showing lower critical solution temperature behavior in aqueous solns. and bearing a hydrophobic substituent, (b) a hydrophobic substituent of less than 10 kD covalently bound to the thermally-sensitive polymer, and (c) a pH sensitive substituent covalently bound to the thermally-sensitive polymer, which pH sensitive substituent remains ionizable following covalent bonding and whose pH sensitivity does not depend on cleavage of the covalent bond to the thermally-sensitive polymer, the **liposome** and mol. being complexed by the insertion of hydrophobic substituent into the lipid bilayer of the **liposome**. Complexed **liposomes** in an aqueous solution release at least 20% of the agent when the pH of the solution is changed from pH 7.4 to pH 3.5. For example, the pH-dependent release of fluorescent markers from **liposomes** and **liposome**-polymer systems after a 5 min incubation at 37° was observed A decrease in pH from 7.2 to 4.9 produced a 10-fold increase in the total amount of dye released from egg phosphatidylcholine (EPC) **liposomes** associated with poly(N-isopropylacrylamide-methacrylic acid-octadecyl acrylate). Interestingly, preincubation of **liposomes** with the same polymer lacking the alkyl chain (octadecyl acrylate) did not induce pH-triggered **liposomal** leakage, indicating that the presence of alkyl chains in the structure of the copolymer is important for **liposome** pH-dependent response, possibly due to efficient complexation of the polymer to the **liposome** membrane via octadecyl chains.

IC ICM A61K009-127

NCL 424450000

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

ST polymer pH sensitive **liposome** stability serum

IT Animal cell line
(KB-31, doxorubicin uptake by; polymeric, pH-sensitive, serum-stable **liposomes**)

IT Lipids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bilayers; polymeric, pH-sensitive, serum-stable **liposomes**)

IT Polymers, biological studies
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(co-; polymeric, pH-sensitive, serum-stable **liposomes**)

IT Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(conjugates with DSPE and folic acid; polymeric, pH-sensitive, serum-stable **liposomes**)

IT Drug delivery systems
(injections, i.v.; polymeric, pH-sensitive, serum-stable **liposomes**)

IT Drug delivery systems
(injections; polymeric, pH-sensitive, serum-stable **liposomes**)

IT Drug delivery systems
(**liposomes**; polymeric, pH-sensitive, serum-stable **liposomes**)

IT Polyoxyalkylenes, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphatidylethanolamine derivs.; polymeric, pH-sensitive, serum-stable **liposomes**)

IT Antitumor agents
Blood serum
Drug delivery systems
(polymeric, pH-sensitive, serum-stable **liposomes**)

IT Polyoxyalkylenes, biological studies
RL: POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric, pH-sensitive, serum-stable **liposomes**)

IT Polymers, biological studies
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polymeric, pH-sensitive, serum-stable **liposomes**)

IT Gangliosides
Nucleic acids
Phosphatidylcholines, biological studies
Phosphatidylethanolamines, biological studies
Radionuclides, biological studies
Ribozymes
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric, pH-sensitive, serum-stable **liposomes**)

IT Phosphatidylcholines, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(soya, hydrogenated; polymeric, pH-sensitive, serum-stable **liposomes**)

IT Biological transport
(uptake, of doxorubicin; polymeric, pH-sensitive, serum-stable **liposomes**)

IT 7440-70-2, Calcium, uses 10043-52-4, Calcium chloride, uses
RL: MOA (Modifier or additive use); USES (Uses)
(doxorubicin leakage in presence of; polymeric, pH-sensitive, serum-stable **liposomes**)

IT 6358-69-6, HPTS
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(label, release of; polymeric, pH-sensitive, serum-stable **liposomes**)

IT 14208-10-7, DPX 263552-61-0D, diacyl derivs. 263552-62-1D, diacyl derivs.
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(label; polymeric, pH-sensitive, serum-stable **liposomes**)

IT 23214-92-8, Doxorubicin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric, pH-sensitive, serum-stable **liposomes**)

IT 79-06-1D, Acrylamide, derivs., polymers 79-10-7D, Acrylic acid, amides with amino acids, polymers 2210-25-5D, N-Isopropylacrylamide, polymers 9002-89-5, Poly(vinyl alcohol) 9004-34-6, Cellulose, biological studies 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-67-5, Methyl cellulose 25322-68-3, Polyethylene glycol 25584-83-2D, Hydroxypropyl acrylate, polymers 25805-17-8, Polyethyloxazoline 26835-47-2, Poly(N-acryloyl piperidine) 31605-88-6, Poly(N-acryloyl pyrrolidine) 37353-59-6, Hydroxymethyl cellulose 53237-50-6 71138-97-1, Hydroxypropyl methyl cellulose acetate succinate
RL: POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric, pH-sensitive, serum-stable **liposomes**)

IT **151954-97-1P**, N-Isopropylacrylamide-methacrylic acid copolymer
202185-76-0P, N-Isopropylacrylamide-methacrylic acid-octadecyl acrylate copolymer
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polymeric, pH-sensitive, serum-stable **liposomes**)

IT 57-88-5, Cholesterol, biological studies 59-30-3D, Folic acid, conjugates with PEG and DSPE 4537-76-2D, Distearoylphosphatidylethanolamine, conjugates with folic acid and PEG 25322-68-3D, Polyethylene glycol, conjugates with DSPE and folic acid 25322-68-3D, Poly(ethylene glycol), phosphatidylethanolamine derivs. 26853-31-6 170931-04-1 326495-35-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric, pH-sensitive, serum-stable **liposomes**)

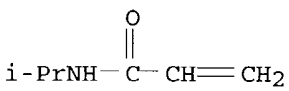
IT **151954-97-1P**, N-Isopropylacrylamide-methacrylic acid copolymer
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polymeric, pH-sensitive, serum-stable **liposomes**)

RN 151954-97-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

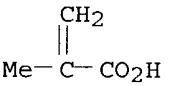
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 13 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:550716 HCAPLUS
DOCUMENT NUMBER: 137:228871
TITLE: Evaluation of interaction between **liposome** membranes induced by stimuli responsive polymer and protein
AUTHOR(S): Felix, Matundu Menayame; Umakoshi, Hiroshi; Shimanouchi, Toshinori; Yoshimoto, Makoto; Kuboi, Ryoichi
CORPORATE SOURCE: Department of Chemical Science and Engineering, Graduate School of Engineering Science, Osaka

University, Osaka, 560-8531, Japan
SOURCE: Journal of Bioscience and Bioengineering (2002), 93(5), 498-501
CODEN: JBBIF6; ISSN: 1389-1723
PUBLISHER: Society for Bioscience and Bioengineering, Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Immobilized **liposome** chromatog. was utilized as a novel method for the quant. evaluation of the interaction between **liposome** membranes. The capacity factors evaluated from the elution profile showed that interaction between 1-palmitoyl-2-oleoyl-sn-glycero-3-phosphocholine (POPC) **liposome** membranes occurred in the presence of a stimuli responsive polymer and protein under specific stimulus conditions. The occurrence of such interaction was supported by exptl. results for POPC **liposome** membrane fusion under corresponding stimuli conditions.

CC 9-16 (Biochemical Methods)
Section cross-reference(s): 6

ST interaction **liposome** membrane stimuli responsive polymer protein

IT **Liposomes**
Membrane, biological
Molecular association
(evaluation of interaction between **liposome** membranes induced by stimuli responsive polymer and protein)

IT Polymers, processes
Proteins
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(evaluation of interaction between **liposome** membranes induced by stimuli responsive polymer and protein)

IT 9004-07-3, α-Chymotrypsin 26853-31-6, 1-Palmitoyl-2-oleoyl-sn-glycero-3-phosphocholine **151954-97-1**
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(evaluation of interaction between **liposome** membranes induced by stimuli responsive polymer and protein)

IT **151954-97-1**
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(evaluation of interaction between **liposome** membranes induced by stimuli responsive polymer and protein)

RN 151954-97-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

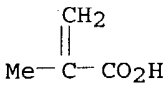
CM 1

CRN 2210-25-5
CMF C6 H11 N O

CM 2

CRN 79-41-4

CMF C4 H6 O2



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 14 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:405703 HCAPLUS
DOCUMENT NUMBER: 135:362449
TITLE: N-isopropylacrylamide copolymers for the preparation of pH-sensitive **liposomes** and polymeric micelles
AUTHOR(S): Leroux, J.-C.; Roux, E.; Le Garrec, D.; Hong, K.; Drummond, D. C.
CORPORATE SOURCE: Faculty of Pharmacy, University of Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: Journal of Controlled Release (2001), 72(1-3), 71-84
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Hydrophobically-modified copolymers of N-isopropylacrylamide bearing a pH-sensitive moiety were investigated for the preparation of pH-responsive **liposomes** and polymeric micelles. The copolymers having the hydrophobic anchor randomly distributed within the polymeric chain were found to more efficiently destabilize egg phosphatidylcholine (EPC)/cholesterol **liposomes** than the alkyl terminated polymers. Release of both a highly-water soluble fluorescent contents marker, pyranine, and an amphipathic cytotoxic anti-cancer drug, doxorubicin, from copolymer-modified **liposomes** was shown to be dependent on pH, the concentration of copolymer, the presence of other polymers such as polyethylene glycol, and the method of preparation Both polymers were able to partially stabilize EPC **liposomes** in human serum. These polymers were found to self-assemble to form micelles. The critical association concentration was low (9-34 mg/l) and influenced by the position of the alkyl chains. In phosphate buffered saline, the micelles had a bimodal size distribution with the predominant population having a mean diameter of 35 nm. The polymeric micelles were studied as a delivery system for the photosensitizer aluminum chloride phthalocyanine, (AlClPc), currently evaluated in photodynamic therapy. pH-Responsive polymeric micelles loaded with AlClPc were found to exhibit increased cytotoxicity against EMT-6 mouse mammary cells in vitro than the control Cremophor EL formulation.

CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 35, 36
ST acrylamide acrylate copolymer pH sensitive **liposome**
IT Dissolution rate
Micelles
Particle size distribution
Solubility
pH
(N-isopropylacrylamide copolymers for preparation of pH-sensitive **liposomes** and polymeric micelles)
IT Lecithins

RL: RCT (Reactant); RACT (Reactant or reagent)
(egg yolk; N-isopropylacrylamide copolymers for preparation of pH-sensitive **liposomes** and polymeric micelles)

IT Drug delivery systems
(**liposomes**, large unilamellar; N-isopropylacrylamide copolymers for preparation of pH-sensitive **liposomes** and polymeric micelles)

IT 130468-56-3DP, DODA-501, reaction products with N-isopropylacrylamide-methacrylic acid-copolymer **151954-97-1DP**, N-Isopropylacrylamide-methacrylic acid-copolymer, reaction products with 4,4'-azobis(4-cyano-N.N-dioctadecyl)pentanamide 202185-76-0P, N-Isopropylacrylamide-methacrylic acid-octadecylacrylate copolymer
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-isopropylacrylamide copolymers for preparation of pH-sensitive **liposomes** and polymeric micelles)

IT 14154-42-8, Aluminum phthalocyanine chloride 23214-92-8, Doxorubicin 27928-00-3, 8-Hydroxypyrene-1,3,6-trisulfonic acid
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(N-isopropylacrylamide copolymers for preparation of pH-sensitive **liposomes** and polymeric micelles)

IT 57-88-5, Cholesterol, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-isopropylacrylamide copolymers for preparation of pH-sensitive **liposomes** and polymeric micelles)

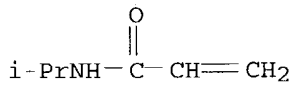
IT **151954-97-1DP**, N-Isopropylacrylamide-methacrylic acid-copolymer, reaction products with 4,4'-azobis(4-cyano-N.N-dioctadecyl)pentanamide
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-isopropylacrylamide copolymers for preparation of pH-sensitive **liposomes** and polymeric micelles)

RN 151954-97-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

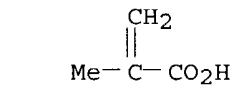
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 15 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:671793 HCAPLUS
DOCUMENT NUMBER: 134:285522
TITLE: pH-sensitive gel phase **liposomes**
AUTHOR(S): Roux, E.; Zignani, M.; Daigle, C.; Moreau, P.; Drummond, D. C.; Hong, K.; Leroux, J. C.
CORPORATE SOURCE: Faculty of Pharmacy, University of Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: Proceedings of the International Symposium on Controlled Release of Bioactive Materials (2000), 27th, 29-30
CODEN: PCRMEY; ISSN: 1022-0178
PUBLISHER: Controlled Release Society, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The ability of N-isopropylacrylamide (NIPA) copolymers to destabilize gel phase **liposomes** was investigated. The hydrophobic anchor of the copolymer was either randomly grafted or attached to one end of the polymeric chain. The stability in plasma and pharmacokinetics of the polymer-**liposomes** formulations were also evaluated. The results of this study show that gel phase **liposomes** can be rendered pH-sensitive. The pH-sensitivity is partially preserved after incubation in serum. Expts. are currently underway to further improve the pharmacokinetic characteristics of the system.

CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1

ST isopropylacrylamide copolymer **liposome** stability pH

IT Dissolution rate
pH
(isopropylacrylamide copolymer-based pH-sensitive gel phase **liposomes**)

IT Drug delivery systems
(**liposomes**; isopropylacrylamide copolymer-based pH-sensitive gel phase **liposomes**)

IT 57-88-5, Cholesterol, biological studies 4539-70-2, Distearoylphosphatidylcholine **151954-97-1**, N-Isopropylacrylamide-methacrylic acid copolymer 202185-76-0, N-Isopropylacrylamide-methacrylic acid-octadecyl acrylate copolymer
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(isopropylacrylamide copolymer-based pH-sensitive gel phase **liposomes**)

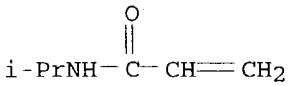
IT **151954-97-1**, N-Isopropylacrylamide-methacrylic acid copolymer
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(isopropylacrylamide copolymer-based pH-sensitive gel phase **liposomes**)

RN 151954-97-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

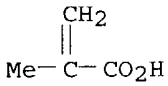
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 16 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:708802 HCAPLUS
DOCUMENT NUMBER: 131:341998
TITLE: Polyanionic polymers which enhance **fusogenicity**
INVENTOR(S): Chen, Tao; He, Yuehua; Cullis, Peter; Madden, Thomas; Scherrer, Peter; Kim, Jung Soo; Tirrell, David; Joshi, Phalgun
PATENT ASSIGNEE(S): Inex Pharmaceuticals Corporation, Can.
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955743	A1	19991104	WO 1999-US9076	19990427
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9937640	A1	19991116	AU 1999-37640	19990427
EP 1100834	A1	20010523	EP 1999-920057	19990427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1998-83294P P 19980428
WO 1999-US9076 W 19990427

AB The present invention relates generally to the amphiphilic polyelectrolyte, poly(2-ethylacrylic acid) (PEAA) and covalently bonded lipids to generate Lipo-PEAA. These Lipo-PEAA are then used to make pH-sensitive **liposomes** which become unstable, permeable or **fusogenic** with certain pH changes. In addition, this invention

generally describes methods for delivering therapeutic compds. and drugs to target cells by administering to a host the pH-sensitive **liposomes** of the present invention. Pyrene-labeled PEAA was prepared and treated with 1-decylamine to the a lipo-PEAA. Examples of other acrylate polymer derivs. were given as well as **liposomes** formulation with lipo-PEAA.

IC ICM C08F008-32
ICS C08F008-34; A61K009-127

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 35

ST lipid polyethylacrylate **liposome fusogenicity**

IT Drug delivery systems
(**liposomes**; polyanionic polymers which enhance **fusogenicity**)

IT Detergents
(polyanionic polymers which enhance **fusogenicity**)

IT Lipids, biological studies
Peptides, biological studies
Phosphatidylcholines, biological studies
Phospholipids, biological studies
Proteins, general, biological studies
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyanionic polymers which enhance **fusogenicity**)

IT Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyanionic polymers which enhance **fusogenicity**)

IT 57-88-5, Cholesterol, biological studies
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyanionic polymers which enhance **fusogenicity**)

IT 530-48-3, 1,1-Diphenylethylene 3586-58-1, 2-Ethylacrylic acid 4390-96-9, 2-Ethylacryloyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(polyanionic polymers which enhance **fusogenicity**)

IT 85316-33-2P, 1,1-Diphenylpropyllithium 219506-75-9P, Benzyl 2-ethylacrylate 249924-76-3P **249924-77-4P** 249924-78-5P 249924-79-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyanionic polymers which enhance **fusogenicity**)

IT 2016-57-1DP, 1-Decanamine, reaction products with poly(ethylacrylic acid)-pyrene derivative 62607-09-4DP, Poly(2-ethylacrylic acid), lipids derivs. 78377-23-8DP, 1-DiazomethylPyrene, reaction products with poly(ethylacrylic acid)
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polyanionic polymers which enhance **fusogenicity**)

IT 2644-64-6, Dipalmitoylphosphatidylcholine 4539-70-2, Distearoylphosphatidylcholine 18656-38-7, Dimyristoylphosphatidylcholine 18656-40-1, Dilauroylphosphatidylcholine 25322-68-3 68737-67-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyanionic polymers which enhance **fusogenicity**)

IT **249924-77-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyanionic polymers which enhance **fusogenicity**)

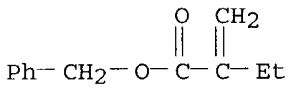
RN 249924-77-4 HCAPLUS

CN Butanoic acid, 2-methylene-, phenylmethyl ester, polymer with

2-methyl-2-propenoic acid, block (9CI) (CA INDEX NAME)

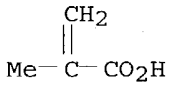
CM 1

CRN 219506-75-9
CMF C12 H14 O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 17 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:699908 HCAPLUS
DOCUMENT NUMBER: 132:166639
TITLE: Block telomer-carrying amphiphiles prepared with a phospholipid iniferter. [Erratum to document cited in CA130:338457]
AUTHOR(S): Kitano, Hiromi; Chibashi, Minae; Nakamata, Shizue; Ide, Makoto
CORPORATE SOURCE: Department of Chemical and Biochemical Engineering, Toyama University, Toyama, 930-8555, Japan
SOURCE: Langmuir (1999), 15(22), 7880
CODEN: LANGD5; ISSN: 0743-7463
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The corrected caption of Fig. 2 on page 2712 is given.
CC 35-4 (Chemistry of Synthetic High Polymers)
Section cross-reference(s): 9, 44
ST erratum block telomer amphiphile phospholipid iniferter; block telomer amphiphile phospholipid iniferter erratum; benzyldiethyldithiocarbamate based iniferter telomerization acrylate erratum; **liposome** acrylic telomer phospholipid iniferter erratum; sugar residue recognition telomer phospholipid erratum; residue recognition telomer phospholipid iniferter erratum
IT **Liposomes**
Telomerization
(telomer-carrying amphiphiles prepared with phospholipid iniferter (Erratum))
IT **132153-71-0P 224326-63-0P**
RL: ANT (Analyte); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)

(telomer-carrying amphiphiles prepared with phospholipid iniferter (Erratum))

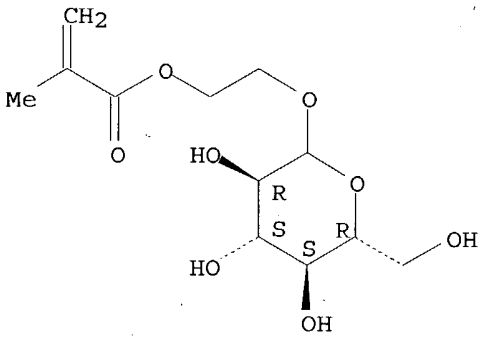
IT **132153-71-0P 224326-63-0P**
RL: ANT (Analyte); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)
(telomer-carrying amphiphiles prepared with phospholipid iniferter (Erratum))

RN 132153-71-0 HCAPLUS
CN D-Glucopyranoside, 2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

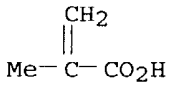
CRN 132153-62-9
CMF C12 H20 O8

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

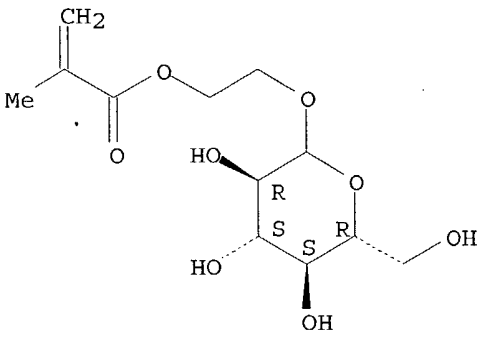


RN 224326-63-0 HCAPLUS
CN D-Glucopyranoside, 2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl, polymer with 2-methyl-2-propenoic acid, block (9CI) (CA INDEX NAME)

CM 1

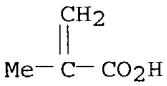
CRN 132153-62-9
CMF C12 H20 O8

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2



L44 ANSWER 18 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:654481 HCAPLUS
DOCUMENT NUMBER: 131:337449
TITLE: **Vesicles** prepared from amphiphilic copolymers
AUTHOR(S): Li, Zi-Chen; Jin, Wei; Li, Fu-Mian
CORPORATE SOURCE: Department of Polymer Science and Engineering, College of Chemistry and Molecular Engineering, Peking University, Beijing, 100871, Peop. Rep. China
SOURCE: Reactive & Functional Polymers (1999), 42(1), 21-30
CODEN: RFPOF6; ISSN: 1381-5148
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

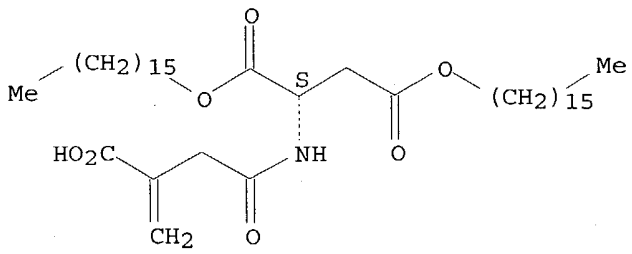
AB Two amphiphilic monomers from aspartic acid, dihexadecyl N-methacryloyl-L-aspartate (A16-MA) and dihexadecyl N-itaconyl-L-aspartate (A16-I) were synthesized. Three kinds of amphiphilic copolymers were obtained by copolymn. of A16-MA with hydroxyethyl methacrylate (HEMA) and 3-sulfopropyl methacrylate potassium salt (SPMAP), resp., and of A16-I with HEMA. The aggregation behavior of the two monomers and three copolymers were examined in an aqueous system. A16-MA and its copolymers with HEMA are very difficult to disperse in water to form regular aggregates; however, copolymers from A16-MA and SPMAP can be dispersed in aqueous media as stable **vesicles**. Both A16-I and its copolymers with HEMA can be easily dispersed in aqueous medium and form vesicular assemblies. These bilayer membranes undergo a typical gel-to-liquid crystal phase transition as confirmed by DSC. The phase transition temps. of the copolymer **vesicles** shift to slightly higher temps. with a broader phase transition temperature range. The permeability of A16-I **vesicles** was studied by monitoring the release of encapsulated fluorescent probes; however, the encapsulated probes cannot be retained for very long in the **vesicles** prepared from the amphiphilic copolymers.

CC 35-4 (Chemistry of Synthetic High Polymers)
Section cross-reference(s): 36, 63
ST aspartic ester methacrylic deriv prepn polymn; **vesicle** membrane
aspartic ester itaconic deriv; acrylic polymer aspartate deriv
encapsulation membrane
IT Drug delivery systems
(colloids, models; **vesicles** prepared from amphiphilic
copolymers based on aspartic ester vinyl derivs.)
IT Bilayer membranes
Vesicles (colloidal)
(from amphiphilic copolymers based on aspartic ester vinyl derivs.)
IT Polymer morphology
Self-assembly
(of **vesicles** prepared from amphiphilic copolymers based on
aspartic ester vinyl derivs.)
IT Encapsulants
(**vesicles** prepared from amphiphilic copolymers based on
aspartic ester vinyl derivs.)
IT 161483-28-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(monomer intermediate; **vesicles** prepared from amphiphilic
copolymers based on aspartic ester vinyl derivs.)
IT 56-84-8, L-Aspartic acid, reactions 920-46-7, Methacryloyl chloride
2170-03-8, Itaconic anhydride 6192-52-5, p-Toluenesulfonic acid
monohydrate 36653-82-4, 1-Hexadecanol
RL: RCT (Reactant); RACT (Reactant or reagent)
(monomer starting material; **vesicles** prepared from amphiphilic
copolymers based on aspartic ester vinyl derivs.)
IT 202737-78-8P 249725-77-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(monomer; **vesicles** prepared from amphiphilic copolymers based
on aspartic ester vinyl derivs.)
IT 202737-79-9P 249725-78-8P **249725-79-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(**vesicles** prepared from amphiphilic copolymers based on
aspartic ester vinyl derivs.)
IT **249725-79-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(**vesicles** prepared from amphiphilic copolymers based on
aspartic ester vinyl derivs.)
RN 249725-79-9 HCAPLUS
CN L-Aspartic acid, N-(3-carboxy-1-oxo-3-butenyl)-, 1,4-dihexadecyl ester,
polymer with 2-hydroxyethyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

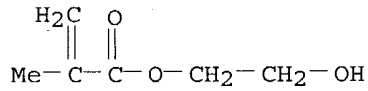
CRN 249725-77-7
CMF C41 H75 N O7

Absolute stereochemistry.



CM 2

CRN 868-77-9
CMF C6 H10 O3



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 19 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:183242 HCAPLUS
DOCUMENT NUMBER: 130:338457
TITLE: Block Telomer-Carrying Amphiphiles Prepared with a Phospholipid Iniferter
AUTHOR(S): Kitano, Hiromi; Chibashi, Minae; Nakamata, Shizue; Ide, Makoto
CORPORATE SOURCE: Department of Chemical and Biochemical Engineering, Toyama University, Toyama, 930-8555, Japan
SOURCE: Langmuir (1999), 15(8), 2709-2713
CODEN: LANGD5; ISSN: 0743-7463
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Novel lipophilic compds., SA-BDC and DPPE-BDC, were prepared by the coupling of 4-(N,N-diethyldithiocarbamylmethyl)benzoic acid succinimidyl ester (BDC-OSu) with stearylamine (SA) and L-α-dipalmitoyl phosphatidylethanolamine (DPPE), resp. By the photoirradn. of Me methacrylate (MMA) in the presence of SA-BDC and N,N,N',N'-tetraethylthiuram disulfide (TD), a novel lipid with a MMA telomer as the head group was obtained (SA-PMMA). The number average mol. weight (Mn) of SA-PMMA increased with the irradiation time while the dispersivity index (Mw/Mn) was around 1.2, which showed that SA-BDC worked as an iniferter which pursues a so-called living radical polymerization Similarly, by the photoirradn. of methacrylic acid (MA) in the presence of DPPE-BDC and TD, a MA telomer-carrying novel phospholipid was obtained (DPPE-PMA). The Mw/Mn value of the lipid was 1.12 2 h after the onset of the photoirradn., which showed that DPPE-BDC worked as the iniferter, too. The amphiphilic DPPE-PMA was dispersed in water with L-α-dimyristoyl phosphatidylcholine (DMPC) to form a **liposome**, and the pH-responsiveness of the **liposome** was clearly observed in its turbidity. DPPE-PMA was further used as an iniferter to polymerize

2-methacryloyloxyethyl D-glucopyranoside (MEGlc). The obtained block telomer-carrying amphiphile (DPPE-PMA-b-PMEGlc) dispersed in a buffer with DMPC was aggregated by a lectin, Con A, due to a specific recognition of pendent glucose residues in the amphiphile by the lectin, while the pH responsiveness was retained. On the contrary, an amphiphile which had a random telomer of MA and MEGlc (DPPE-Poly(MA-r-MEGlc)) was also recognized by Con A, but no pH-responsiveness was observed when the contents of MA and MEGlc residues in the telomer chain were at comparable levels. The iniferters prepared here will be very useful to obtain amphiphiles carrying a telomer with various functional block units.

CC 35-4 (Chemistry of Synthetic High Polymers)
Section cross-reference(s): 9, 44

ST block telomer amphiphile phospholipid iniferter; benzyldiethyldithiocarbamate based iniferter telomerization acrylate; **liposome** acrylic telomer phospholipid iniferter; sugar residue recognition telomer phospholipid iniferter

IT **Liposomes**
Telomerization
(telomer-carrying amphiphiles prepared with phospholipid iniferter)

IT **132153-71-0P**, Methacrylic acid-2-Methacryloyloxyethyl D-glucopyranoside copolymer **224326-63-0P**, Methacrylic acid-2-Methacryloyloxyethyl D-glucopyranoside block copolymer
RL: ANT (Analyte); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)
(telomer-carrying amphiphiles prepared with phospholipid iniferter)

IT **132153-71-0P**, Methacrylic acid-2-Methacryloyloxyethyl D-glucopyranoside copolymer **224326-63-0P**, Methacrylic acid-2-Methacryloyloxyethyl D-glucopyranoside block copolymer
RL: ANT (Analyte); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)
(telomer-carrying amphiphiles prepared with phospholipid iniferter)

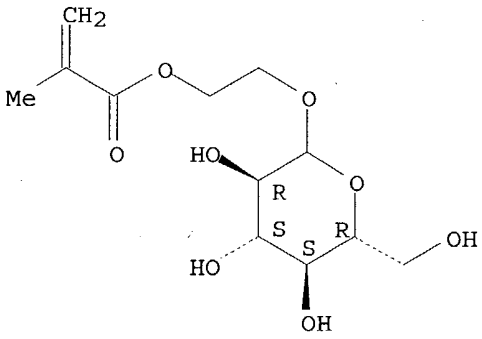
RN 132153-71-0 HCAPLUS

CN D-Glucopyranoside, 2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

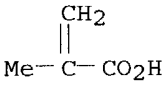
CRN 132153-62-9
CMF C12 H20 O8

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

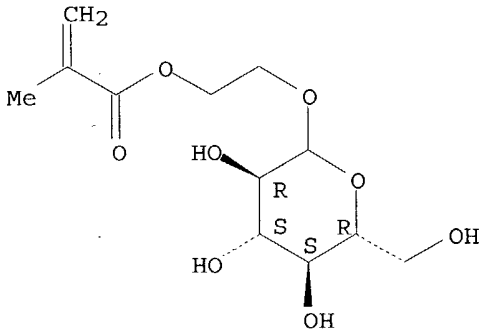


RN 224326-63-0 HCAPLUS
CN D-Glucopyranoside, 2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl, polymer with 2-methyl-2-propenoic acid, block (9CI) (CA INDEX NAME)

CM 1

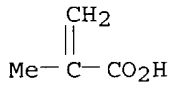
CRN 132153-62-9
CMF C12 H20 O8

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 20 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:481863 HCAPLUS
DOCUMENT NUMBER: 129:235584
TITLE: pH-sensitive **liposomes** containing N-isopropylacrylamide copolymers
AUTHOR(S): Meyer, O.; Papahadjopoulos, D.; Leroux, J. C.
CORPORATE SOURCE: Department of Cellular and Molecular Pharmacology, University of California, San Francisco, CA, 94143-0450, USA
SOURCE: Proceedings of the International Symposium on

Controlled Release of Bioactive Materials (1998), 25th, 421-422
CODEN: PCRMEY; ISSN: 1022-0178
PUBLISHER: Controlled Release Society, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Copolymers of N-isopropylamine, methacrylic acid, and octadecyl acrylate can trigger pH sensitivity to egg phosphatidylcholine and sterically stabilize **liposomes**. PH-triggered release of fluorescent markers from **liposome** control formulations and **liposome** -polymer systems after a 5 min incubation at 37° was studied. The decrease in pH from 7.2 to 4.9 produced a 10 fold increase in the total amount of dye released from the **liposomes**. Preincubation of **liposomes** with the same polymer lacking the alkyl chain did not induce pH-triggered **liposomal** leakage.

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 38

ST pH sensitivity pharmaceutical **liposome** isopropylacrylamide copolymer

IT Drug delivery systems
(**liposomes**; pH-sensitive **liposomes** containing N-isopropylacrylamide copolymers)

IT pH
(pH-sensitive **liposomes** containing N-isopropylacrylamide copolymers)

IT Phosphatidylcholines, biological studies
Phosphatidylethanolamines, biological studies
Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pH-sensitive **liposomes** containing N-isopropylacrylamide copolymers)

IT **151954-97-1P**, N-Isopropylacrylamide-methacrylic acid copolymer
202185-76-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pH-sensitive **liposomes** containing N-isopropylacrylamide copolymers)

IT 57-88-5, Cholesterol, biological studies 25322-68-3, Peg
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pH-sensitive **liposomes** containing N-isopropylacrylamide copolymers)

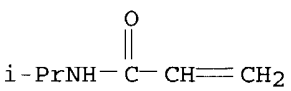
IT **151954-97-1P**, N-Isopropylacrylamide-methacrylic acid copolymer
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pH-sensitive **liposomes** containing N-isopropylacrylamide copolymers)

RN 151954-97-1 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

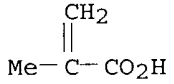
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2

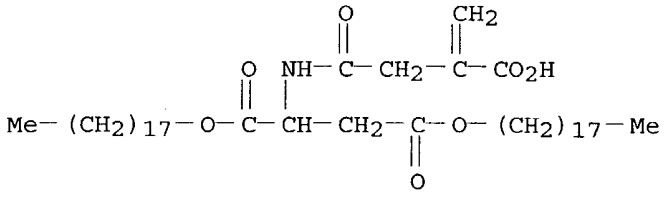


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 21 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:254599 HCAPLUS
DOCUMENT NUMBER: 128:322171
TITLE: **Liposomes** from prepolymerized amphiphiles
AUTHOR(S): Li-Zi-Chen; Jin, Wei; Li, Fuy-Mian
CORPORATE SOURCE: Department of Polymer Science and Engineering, Peking University, Beijing, 100871, Peop. Rep. China
SOURCE: International Conference on Biorelated Polymers Controlled Release Drugs and Reactive Polymers, Xi'an, Peop. Rep. China, May 8-11, 1997 (1997), 31-32. Nankai University, Institute of Polymer Chemistry: Tianjin, Peop. Rep. China.
CODEN: 65XOAU
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A new amphiphile monomer was synthesized and copolymd. with hydroxyl methacrylate. The phase transition temps. of the monomer, copolymer, and corresponding **liposomes** were studied.
CC 36-3 (Physical Properties of Synthetic High Polymers)
Section cross-reference(s): 6, 63
ST **liposome** prepolymerized amphiphile phase transition
IT **Liposomes**
Phase transition temperature
(**liposomes** from prepolymd. amphiphiles)
IT **206870-06-6P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(**liposomes** from prepolymd. amphiphiles)
IT 206870-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(monomer; **liposomes** from prepolymd. amphiphiles)
IT **206870-06-6P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(**liposomes** from prepolymd. amphiphiles)
RN 206870-06-6 HCAPLUS
CN Aspartic acid, N-(3-carboxy-1-oxo-3-butenyl)-, 1,4-dioctadecyl ester, polymer with 2-hydroxyethyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

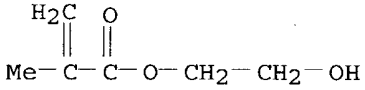
CM 1

CRN 206870-05-5
CMF C45 H83 N O7



CM 2

CRN 868-77-9
CMF C6 H10 O3



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 22 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:27794 HCAPLUS
DOCUMENT NUMBER: 128:137414
TITLE: Copolymers of N-isopropylacrylamide can trigger pH sensitivity to stable **liposomes**
AUTHOR(S): Meyer, Olivier; Papahadjopoulos, Demetrios; Leroux, Jean-Christophe
CORPORATE SOURCE: Box 0450, Department of Cellular and Molecular Pharmacology, University of California, San Francisco, CA, 94143, USA
SOURCE: FEBS Letters (1998), 421(1), 61-64
CODEN: FEBLAL; ISSN: 0014-5793
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Stable **liposomes** were rendered pH-sensitive by complexation to a polymer that undergoes marked temperature- and pH-dependent water solubility changes.

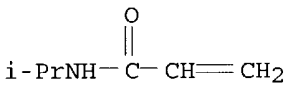
The N-isopropylacrylamide-methacrylic acid copolymer was prepared with or without octadecyl acrylate. At pH below the phase transition of the polymer, egg phosphatidylcholine **liposomes** quickly released a part of their contents only when associated with the octadecyl aliphatic chain grafted polymer at 37°. Similarly, sterically stabilized **liposomes** also quickly released a significant part of the entrapped fluorescent markers at pH 5.5-4.9, values corresponding to those of endosomes/lysosomes. This new pH-sensitive **liposome**-polymer system may further improve the efficiency of **liposomal** drug delivery.

CC 4-7 (Toxicology)
ST isopropylacrylamide copolymer pH stability **liposome**; drug delivery **liposome** pH stability
IT Phosphatidylcholines, biological studies
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(isopropylacrylamide copolymer and pH sensitivity of **liposomes**

)
IT Drug delivery systems
(**liposomes**; isopropylacrylamide copolymer and pH sensitivity of **liposomes**)
IT **151954-97-1**, N-Isopropylacrylamide-methacrylic acid copolymer
202185-76-0
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(isopropylacrylamide copolymer and pH sensitivity of **liposomes**)
IT 12408-02-5, Hydrogen ion, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(isopropylacrylamide copolymer and pH sensitivity of **liposomes**)
IT **151954-97-1**, N-Isopropylacrylamide-methacrylic acid copolymer
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(isopropylacrylamide copolymer and pH sensitivity of **liposomes**)
RN 151954-97-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

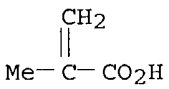
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 23 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:318364 HCAPLUS
DOCUMENT NUMBER: 127:9045
TITLE: Pharmaceutical and biological characterization of a doxorubicin-polymer conjugate (PK1) entrapped in sorbitan monostearate span 60 niosomes
AUTHOR(S): Gianasi, Elisabetta; Cociancich, Fausto; Uchegbu, Ijeoma F.; Florence, Alexander T.; Duncan, Ruth
CORPORATE SOURCE: Cent. Polymer Therapeutics, Sch. Pharm., Univ. London, London, WC1N 1AX, UK

SOURCE: International Journal of Pharmaceutics (1997), 148(2), 139-148
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A doxorubicin N-(2-hydroxypropyl)methacrylamide (HPMA) copolymer conjugate (PK1) designed for intracellular lysosomal cleavage following fluid phase pinocytic uptake is currently in early clin. development. This macromol. prodrug has been encapsulated in niosomes prepared from Span 60/cholesterol/Solulan C24 (a cholesteryl poly-24-oxyethylene ether) (45:45:10). Lipid/surfactant films hydrated with a 3 mg ml-1 solution of PK1 using a modification of the dehydration rehydration **vesicle** (DRV) method gave an encapsulation efficiency of 49.0 ± 1.54%. **Vesicle** size was 583 ± 191 nm. Span 60 PK1 niosomes were photographed by optical and transmission electron microscopy and found to have a bright fluorescence on the outside of the **vesicles** and diminished fluorescence in the **vesicle** core. This is thought to be due to fluorescence quenching of the higher concentration of PK1 inside the niosomes. Span 60 PK1 niosomes stored freeze dried at -40°C, 4°C and 25°C were completely stable and when stored as a liquid suspension at 4°C and 25°C retain 75% of their encapsulated material even after 28 days. Addition of excipients, e.g. polyethylene glycol 8000 and polyvinylpyrrolidone at the rehydration step increased the PK1 encapsulation efficiency to 52% and 65%, resp. Incubation of PK1 niosomes with plasma resulted in less than 0.02% doxorubicin release after 72 h. When PK1 niosomes were incubated with a lysosomal enzyme preparation doxorubicin release increased to 7% after 72 h. PK1 niosomes have potential for use in targeted cancer chemotherapy.

CC 63-5 (Pharmaceuticals)

IT 23214-92-8D, Doxorubicin, reaction products with hydroyxpropylmethacrylamide-methacrylic acid copolymer and tetrapeptide **61436-01-9D**, reaction products with tetrapeptide and doxorubicin 104845-49-0D, 2-5-Tachykinin-related peptide Ib (Cancer borealis), reaction products with hydroyxpropylmethacrylamide-methacrylic acid copolymer and doxorubicin
RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical and biol. characterization of a doxorubicin-polymer conjugate entrapped in Span 60 niosomes)

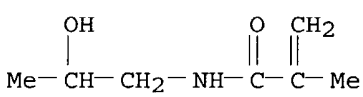
IT **61436-01-9D**, reaction products with tetrapeptide and doxorubicin
RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical and biol. characterization of a doxorubicin-polymer conjugate entrapped in Span 60 niosomes)

RN 61436-01-9 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with N-(2-hydroxypropyl)-2-methyl-2-propenamide (9CI) (CA INDEX NAME)

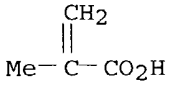
CM 1

CRN 21442-01-3
CMF C7 H13 N O2



CM 2

CRN 79-41-4
CMF C4 H6 O2



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

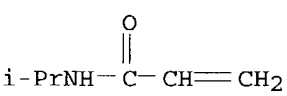
L44 ANSWER 24 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:176837 HCAPLUS
DOCUMENT NUMBER: 126:282627
TITLE: Temperature-sensitivity of **liposomal** lipid bilayers mixed with poly(N-isopropylacrylamide-co-acrylic acid)
AUTHOR(S): Kim, Jin-Chul; Bae, Soo Kyoung; Kim, Jong-Duk
CORPORATE SOURCE: Department of Chemical Engineering and Bioprocess Engineering Research Center, Korea Advanced Institute of Science and Technology, Taejon, 305-701, S. Korea
SOURCE: Journal of Biochemistry (Tokyo) (1997), 121(1), 15-19
CODEN: JOBIAO; ISSN: 0021-924X
PUBLISHER: Japanese Biochemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Temperature-sensitive drug release was examined using **liposomes** mixed with a copolymer of N-isopropylacrylamide (NIPAM) and acrylic acid [P(NIPAM-AA)], i.e., thermally responsive **liposomes**. P(NIPAM-AA) copolymers with transition temps. of about 30, 33, 37, and 43° were synthesized by copolymg. NIPAM and acrylic acid. Thermally responsive **liposomes** were prepared by mixing hydrophobically modified PNIPAM, or P(NIPAM-AA) with various **liposomes**, composed of egg phosphatidylcholine (PC), dimyristoylphosphatidylcholine (DMPC)/dipalmitoylphosphatidylcholine (DPPC) mixture (5: 5, weight/weight), DPPC, or distearoylphosphatidylcholine (DSPC). The release of a fluorescent marker, calcein, from **liposomes** was monitored by injecting the **liposomal** suspension at 17° into phosphate-buffered saline (PBS, pH 7.4) preadjusted to a temperature ranging from 20 to 46°. For **liposomes** of egg PC and DSPC, which do not undergo a phase transition during the temperature jump (17→20-46°), the release temperature of the **liposomes** increased as the content of acrylic acid in the copolymers increased. The interaction between copolymer and lipid may induce the release of calcein at LCST of the copolymer. For DPPC **liposomes**, the release patterns were similar to those of egg PC and DSPC **liposomes** at 20-36°, where the phase transition of the **liposomal** membrane did not occur, while at 36-46°, where the phase transition of **liposomal** membrane occurred, the degree of release was almost the same. For DMPC/DPPC (5:5) **liposomes**, where the transition occurred below those of PNIPAMs, equally enhanced releases were observed as compared with PNIPAMs, even below the LCSTs of PNIPAMs. Thus, regardless of the occurrence of the transition of PNIPAMs, phase transition of DMPC/DPPC **liposomes** controlled the release of calcein.

CC 63-5 (Pharmaceuticals)
ST temp drug release **liposome** polyacrylate
IT Lecithins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(egg yolk; temperature-sensitivity drug release from **liposomes** mixed with polyacrylate)
IT Drug delivery systems
Drug delivery systems
(**liposomes**, controlled-release; temperature-sensitivity drug release from **liposomes** mixed with polyacrylate)
IT Dissolution rate
Phase transition temperature
(temperature-sensitivity drug release from **liposomes** mixed with polyacrylate)
IT Phospholipids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(temperature-sensitivity drug release from **liposomes** mixed with polyacrylate)
IT 1461-15-0, Calcein
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(temperature-sensitivity drug release from **liposomes** mixed with polyacrylate)
IT **79042-19-6P**, Acrylic acid-N-isopropylacrylamide copolymer
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(temperature-sensitivity drug release from **liposomes** mixed with polyacrylate)
IT 2644-64-6, Dipalmitoylphosphatidylcholine 4539-70-2, Distearoylphosphatidylcholine 18656-38-7, DMPC
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(temperature-sensitivity drug release from **liposomes** mixed with polyacrylate)
IT **79042-19-6P**, Acrylic acid-N-isopropylacrylamide copolymer
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(temperature-sensitivity drug release from **liposomes** mixed with polyacrylate)
RN 79042-19-6 HCAPLUS
CN 2-Propenoic acid, polymer with N-(1-methylethyl)-2-propenamide (9CI) (CA INDEX NAME)

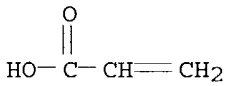
CM 1

CRN 2210-25-5
CMF C6 H11 N O



CM 2

CRN 79-10-7
CMF C3 H4 O2



L44 ANSWER 25 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:587312 HCAPLUS
DOCUMENT NUMBER: 121:187312
TITLE: manufacture of stabilized pharmaceutical **liposomes** by coating with 2-methaloacryloxyethylphosphocholine (co)polymers
INVENTOR(S): Shaku, Masao; Ookura, Sayuri; Sagya, Hiromichi; Kuroda, Hideo; Nakabayashi, Norio
PATENT ASSIGNEE(S): Pola Kasei Kogyo Kk, Japan; Nakabayashi Norio
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

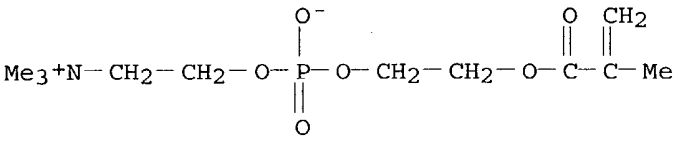
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06178930	A2	19940628	JP 1992-334200	19921215
JP 3308010	B2	20020729		
PRIORITY APPLN. INFO.:			JP 1992-334200	19921215

AB Sustained-release pharmaceutical **liposomes** with improved stability and mech. strength under physiol. conditions are manufactured by coating the surface of **liposomes** with 2-methaloacryloxyethylphosphocholine (co)polymers.
IC ICM B01J013-02
ICS A61K009-127; A61K047-32
CC 63-6 (Pharmaceuticals)
ST pharmaceutical **liposome** coating methaloacryloxyethylphosphocholi ne polymer
IT Pharmaceutical dosage forms
(**liposomes**, sustained-release; manufacture of stabilized pharmaceutical **liposomes** by coating with 2-methaloacryloxyethylphosphocholine (co)polymers)
IT 67881-99-6P 134483-35-5P 158017-89-1P **158017-90-4P**
RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(manufacture of stabilized pharmaceutical **liposomes** by coating with 2-methaloacryloxyethylphosphocholine (co)polymers)
IT **158017-90-4P**
RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(manufacture of stabilized pharmaceutical **liposomes** by coating with 2-methaloacryloxyethylphosphocholine (co)polymers)
RN 158017-90-4 HCAPLUS
CN 3,5,8-Trioxa-4-phosphaundec-10-en-1-aminium, 4-hydroxy-N,N,N,10-tetramethyl-9-oxo-, inner salt, 4-oxide, polymer with 2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

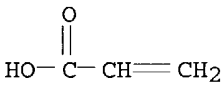
CRN 67881-98-5

CMF C11 H22 N O6 P



CM 2

CRN 79-10-7
CMF C3 H4 O2



L44 ANSWER 26 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:569813 HCAPLUS
DOCUMENT NUMBER: 121:169813
TITLE: Synthetic polymeric inhibitors of influenza virus receptor-binding activity suppress virus replication
AUTHOR(S): Mochalova, L. V.; Tuzikov, A. B.; Marinina, V. P.; Gambaryan, A. S.; Byramova, N. E.; Bovin, N. V.; Matrosovich, M. N.
CORPORATE SOURCE: Institute of Poliomyelitis and Viral Encephalitides, Russian Academy of Medical Sciences, Moscow, Russia
SOURCE: Antiviral Research (1994), 23(3-4), 179-90
CODEN: ARSRDR; ISSN: 0166-3542
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new approach to anti-influenza chemotherapy is based on the development of synthetic inhibitors of virus attachment to host cells. These inhibitors are prepared by anchoring the min. receptor determinant of influenza virus, sialic acid, to polymeric or **liposomal** carriers. In this study, a series of poly(acrylic acid-co-acrylamides) and dextrans bearing pendant glycylamidobenzylsialoside groups were synthesized and evaluated for their binding to a panel of influenza A and B virus strains and for their ability to inhibit virus infectivity in cell culture. Significant type-, subtype-, and strain-specific variation in virus susceptibility to the synthetic inhibitors was observed Among the viruses tested, H3 subtype strains evolved in humans since 1975 were the most sensitive, while the earlier H3 viruses and the type B strains were resistant. The virus-inhibitory potency of the polymeric sialosides correlated with their binding to the virus, and was dependent on the virus affinity for the ligand, the d. of the ligand, and the nature and mol. mass of the polymeric carrier. In embryonated eggs, the antiviral effect of poly(acryloyl-glycylamidobenzylsialoside-co-acrylic acid) was comparable to that of equine α2-macroglobulin.

CC 1-5 (Pharmacology)
IT 9004-54-0D, Dextran, oxidized, sialic acid derivative conjugates
137125-88-3D, oxidized dextran conjugates **157597-13-2**
157597-14-3 157597-15-4 157597-16-5 157597-18-7 157597-19-8

157597-20-1 157597-21-2
RL: BIOL (Biological study)
(influenza virus receptor binding inhibition and infectivity inhibition activity of)

IT **157597-13-2**
RL: BIOL (Biological study)
(influenza virus receptor binding inhibition and infectivity inhibition activity of)

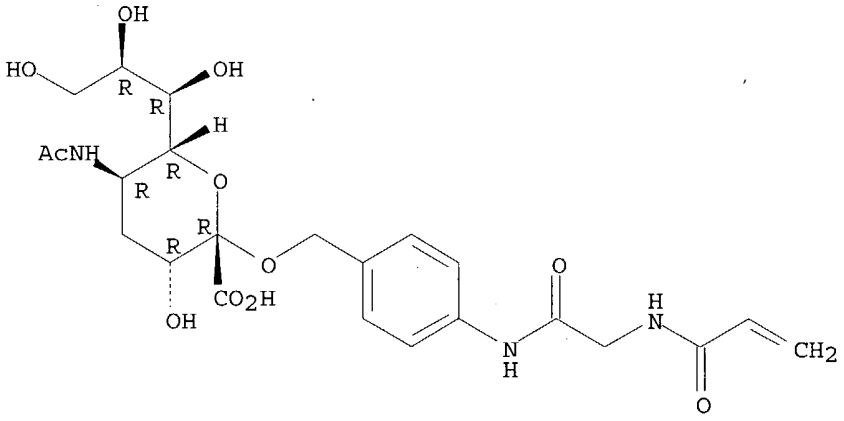
RN 157597-13-2 HCAPLUS

CN α-Neuraminic acid, N-acetyl-2-O-[[4-[[[(1-oxo-2-propenyl)amino]acetyl]amino]phenyl]methyl]-, polymer with 2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

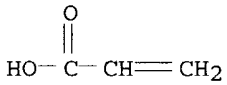
CRN 157597-12-1
CMF C23 H31 N3 O11

Absolute stereochemistry.



CM 2

CRN 79-10-7
CMF C3 H4 O2



L44 ANSWER 27 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:330928 HCAPLUS
DOCUMENT NUMBER: 120:330928
TITLE: Selective H+-Dependent Release of Contents from Thymine-Labeled Phospholipid **Vesicles** by an Adenine-Labeled Polyelectrolyte
AUTHOR(S): Pinilla, Inmaculada Molina; Martinez, Manuel Bueno; Tirrell, David A.
CORPORATE SOURCE: Department of Polymer Science and Engineering,

University of Massachusetts, Amherst, MA, 01003, USA
SOURCE: Macromolecules (1994), 27(10), 2671-4
CODEN: MAMOBX; ISSN: 0024-9297
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Copolymers bearing 5.6, 9, or 11.7 mol% of adenine residues were prepared by radical copolymn. of 2-ethylacrylic acid (EAA) and 9-[[2-(methacryloyloxy)ethoxy]methyl]adenine (MAAd). A double-chain surfactant with thymine in the head group was synthesized and used in mixts. with egg yolk phosphatidylcholine (EYPC) to prepare thymine-labeled unilamellar lipid **vesicles**. Anal. of the pH-dependent behavior of the copolymers revealed that release of contents could be effected from labeled **vesicles** in competitive expts. in which unlabeled **vesicles** remained intact. Selectivity was greatest for the 9 mol% copolymer and was reduced at either lower or higher levels of adenine labeling. The selective recognition of the labeled membranes is ascribed to interactions between polymer-bound adenine and surface-bound thymine functional groups.

CC 63-5 (Pharmaceuticals)

ST drug release thymidine phospholipid **liposome** pH; adenine polyelectrolyte thymidine phospholipid **liposome**

IT Solution rate
(of calcein, pH-dependent, from thymine-labeled phospholipid **liposomes**)

IT Phosphatidylcholines, biological studies
RL: BIOL (Biological study)
(egg yolk, **liposomes** containing thymine-labeled phospholipid and, for selective pH-dependent drug release)

IT Pharmaceutical dosage forms
(**liposomes**, unilamellar, thymine-labeled phospholipid, for selective pH-dependent drug release)

IT 154394-19-1
RL: BIOL (Biological study)
(**liposomes** containing, for selective pH-dependent drug release)

IT **154394-18-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for selective pH-dependent drug release from thymine-labeled phospholipid **liposomes**)

IT 1461-15-0, Calcein
RL: PROC (Process)
(release of, pH-dependent, from thymine-labeled phospholipid **liposomes**)

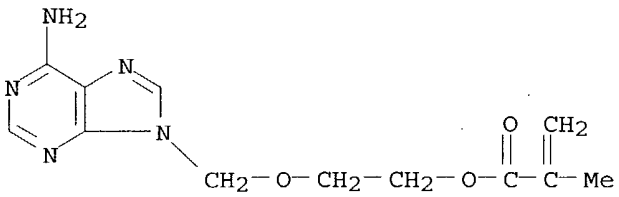
IT **154394-18-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for selective pH-dependent drug release from thymine-labeled phospholipid **liposomes**)

RN 154394-18-0 HCAPLUS

CN Butanoic acid, 2-methylene-, polymer with 2-[(6-amino-9H-purin-9-yl)methoxy]ethyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

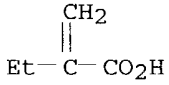
CM 1

CRN 154394-17-9
CMF C12 H15 N5 O3



CM 2

CRN 3586-58-1
CMF C5 H8 O2



L44 ANSWER 28 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:181543 HCAPLUS
DOCUMENT NUMBER: 114:181543
TITLE: Photoregulation of the binding of an azobenzene-modified poly(methacrylic acid) to phosphatidylcholine bilayer membranes
AUTHOR(S): Ferritto, Michael S.; Tirrell, David A.
CORPORATE SOURCE: Dep. Chem., Univ. Massachusetts, Amherst, MA, 01003, USA
SOURCE: Biomaterials (1990), 11(9), 645-51
CODEN: BIMADU; ISSN: 0142-9612
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Radical copolymn. of a 4:1 mixture of methacrylic acid and N-[4-(phenylazo)phenyl]methacrylamide afforded a copolymer of methacrylic acid bearing 10.4 mol% pendent azobenzene units. The copolymer was sensitive to irradiation by virtue of the photochem. trans-to-cis isomerization of the pendent chromophore. The pH-dependent conformational transition characteristic of poly(methacrylic acid) was shifted to a higher pH by the hydrophobic nature of the azobenzene units, but viscosity measurements revealed no further shift in the transition upon photoisomerization. The potentiometric titration curves of the 2 photoisomeric states of the chain were displaced in a manner consistent with the enhanced polarity of the cis form of the chromophore. The adsorption of the chain on phosphatidylcholine bilayer membranes was strikingly sensitive to light; at pH 7, the cis form adsorbed weakly if at all, whereas the trans form bound strongly to the membrane surface with concomitant reorganization of the lipid bilayer structure.

CC 9-5 (Biochemical Methods)
Section cross-reference(s): 6

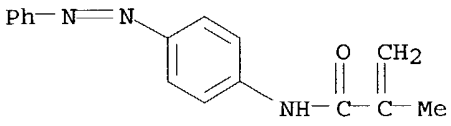
IT **Liposome**
(photoregulation of binding of azobenzene-modified poly(methacrylic acid) to phosphatidylcholine)

IT **34229-29-3P**
RL: PREP (Preparation)
(preparation and photoregulation of binding of, to phosphatidylcholine bilayer membrane)

IT **34229-29-3P**
RL: PREP (Preparation)
(preparation and photoregulation of binding of, to phosphatidylcholine bilayer membrane)
RN 34229-29-3 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with 2-methyl-N-[4-(phenylazo)phenyl]-2-propenamide (9CI) (CA INDEX NAME)

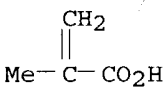
CM 1

CRN 2615-08-9
CMF C16 H15 N3 O



CM 2

CRN 79-41-4
CMF C4 H6 O2



L44 ANSWER 29 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:516313 HCAPLUS
DOCUMENT NUMBER: 113:116313
TITLE: Photochemical signal transduction via synthetic membrane-bound polymers
AUTHOR(S): Ferritto, Michael S.; Tirrell, David A.
CORPORATE SOURCE: Dep. Chem., Univ. Massachusetts, Amherst, MA, 01003, USA
SOURCE: Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (1990), 31(1), 242-3
CODEN: ACPPAY; ISSN: 0032-3934
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2-Ethylacrylic acid (I) and (3-methylene-2-hydroxy-5-nitrobenzaldehyde)methacrylate are copolymd. to form a poly-I with pendent benzaldehyde units. The polymer is then refluxed with Fischer's base; the resulting product (II) is a poly-I with pendent spirobenzopyran units. The absorption spectra of the interconversion of the polymer's spirobenzopyran form to the merocyanine form are presented; the equilibrium is driven photochem. or thermally in either direction. The microcalorimetric thermograms of dipalmitoyl phosphatidylcholine suspended in aqueous solns. of II are presented. The release of calcein fluorescent dye from the interior of egg yolk phosphatidylcholine multilamellar **vesicles** after addition of II is presented; the permeability of the **vesicles** is sensitive to the isomeric state of the chromophore.
CC 36-7 (Physical Properties of Synthetic High Polymers)

Section cross-reference(s): 35, 38

IT **129334-69-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and modification of, with Fischer's base)

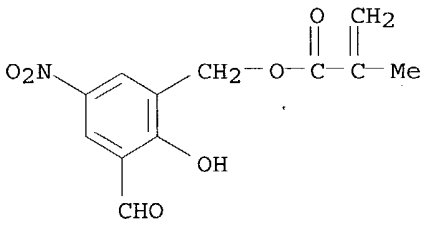
IT **129334-69-6DP**, Fischer's base-modified
RL: PREP (Preparation)
(preparation and radiation-induced spirobenzopyran-merocyanine transitions of)

IT **129334-69-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and modification of, with Fischer's base)

RN 129334-69-6 HCAPLUS
CN Butanoic acid, 2-methylene-, polymer with (3-formyl-2-hydroxy-5-nitrophenyl)methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

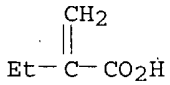
CM 1

CRN 82000-92-8
CMF C12 H11 N O6



CM 2

CRN 3586-58-1
CMF C5 H8 O2

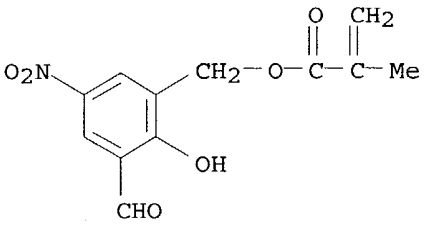


IT **129334-69-6DP**, Fischer's base-modified
RL: PREP (Preparation)
(preparation and radiation-induced spirobenzopyran-merocyanine transitions of)

RN 129334-69-6 HCAPLUS
CN Butanoic acid, 2-methylene-, polymer with (3-formyl-2-hydroxy-5-nitrophenyl)methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

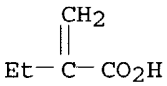
CM 1

CRN 82000-92-8
CMF C12 H11 N O6



CM 2

CRN 3586-58-1
CMF C5 H8 O2



L44 ANSWER 30 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:14279 HCAPLUS
DOCUMENT NUMBER: 112:14279
TITLE: Photosensitive phospholipid **vesicles**
INVENTOR(S): Tirrell, David A.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4833061	A	19890523	US 1987-34855	19870406
PRIORITY APPLN. INFO.:			US 1987-34855	19870406

AB A photosensitive composition for providing photoinitiated release of drugs, dyes, and other materials from surfactant **vesicles** into a surrounding environment comprises **vesicles** formed of surfactants, such as phospholipids, and containing a substance which is entrapped during production of the **vesicles** and controllably released from the **vesicles** by photoinitiated alteration of the confining properties of the phospholipid shells of the **vesicles**. The surface of the **vesicles** is contacted with a nonsolubilizing, photosensitive, pH-alterable polyelectrolyte. Upon photoinitiated alternation of the ionization of the polyelectrolyte, the coherence of the phospholipids is altered so that they may more strongly retain the substance within the **vesicle** walls.
IC G03C001-06; G03C001-72; G03C077-33
NCL 430138000
CC 74-4 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)
Section cross-reference(s): 6
ST photosensitive phospholipid **vesicle** dye release; drug release photosensitive phospholipid **vesicle**; dye release photosensitive

phospholipid **vesicle**

IT Photoimaging compositions and processes
(containing phospholipid **vesicles** for controlled release of dyes)

IT Light-sensitive materials
(containing phospholipid **vesicles** for controlled release of entrapped materials)

IT Surfactants
(phospholipid, **vesicles**, photosensitive compns. containing, for controlled release of entrapped materials)

IT Dyes
Pharmaceuticals
(photosensitive compns. containing phospholipid **vesicles** for controlled release of)

IT **34229-29-3**, Methacrylic acid-N-[4-(phenylazo)phenyl]methacrylamide copolymer
RL: USES (Uses)
(photosensitive compns. containing phospholipid **vesicles** and, for controlled release of entrapped materials)

IT 2644-64-6
RL: USES (Uses)
(photosensitive compns. containing **vesicles** of, for controlled release of entrapped materials)

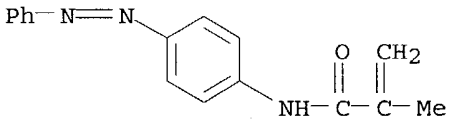
IT **34229-29-3**, Methacrylic acid-N-[4-(phenylazo)phenyl]methacrylamide copolymer
RL: USES (Uses)
(photosensitive compns. containing phospholipid **vesicles** and, for controlled release of entrapped materials)

RN 34229-29-3 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with 2-methyl-N-[4-(phenylazo)phenyl]-2-propenamide (9CI) (CA INDEX NAME)

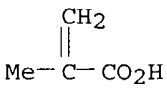
CM 1

CRN 2615-08-9
CMF C16 H15 N3 O



CM 2

CRN 79-41-4
CMF C4 H6 O2



L44 ANSWER 31 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:452785 HCAPLUS
DOCUMENT NUMBER: 111:52785

TITLE: Macromolecular switches for bilayer membranes
AUTHOR(S): Schroeder, Ulrich K. O.; Tirrell, David A.
CORPORATE SOURCE: Polym. Sci. Eng. Dep., Univ. Massachusetts, Amherst, MA, 01003, USA
SOURCE: Angewandte Makromolekulare Chemie (1989), 166-167, 257-72
CODEN: ANMCBO; ISSN: 0003-3146
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Controlled polyelectrolyte adsorption is used to render phospholipid bilayer membranes sensitive to phys. and chemical signals. A description is given of the design and construction of macromol. switches for bilayer membranes, which can be used to create lipid **vesicles** that release their contents rapidly and quant. in response to changes in pH, temperature, light intensity, or glucose concentration The kinetics and mechanisms of the mol. switching processes observed in such systems are also discussed.

CC 6-6 (General Biochemistry)

IT **116149-26-9**
RL: PROC (Process)
(as light-mediated macromol. switch in phospholipid bilayer membranes, mechanism of)

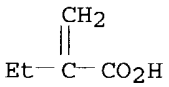
IT **116149-26-9**
RL: PROC (Process)
(as light-mediated macromol. switch in phospholipid bilayer membranes, mechanism of)

RN 116149-26-9 HCAPLUS

CN Butanoic acid, 2-methylene-, polymer with 2-methyl-N-[4-(phenylazo)phenyl]-2-propenamide (9CI) (CA INDEX NAME)

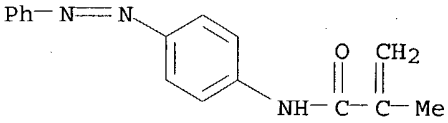
CM 1

CRN 3586-58-1
CMF C5 H8 O2



CM 2

CRN 2615-08-9
CMF C16 H15 N3 O



L44 ANSWER 32 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:412062 HCAPLUS
DOCUMENT NUMBER: 101:12062
TITLE: Enzymic cleavage of side chains of soluble polymers

AUTHOR(S): Labsky, Jiri; Mikes, Frantisek
CORPORATE SOURCE: VSCHT, Prague, Czech.
SOURCE: Sbornik Vysoke Skoly Chemicko-Technologicke v Praze, S: Polymery--Chemie, Vlastnosti a Zpracovani (1983), S 9, 279-308
CODEN: SVSZD5; ISSN: 0139-908X
DOCUMENT TYPE: Journal
LANGUAGE: Czech

AB Models were prepared for the study of release rates of biol. active substances (drugs, hormones, inhibitors, or enzymes) covalently bound to soluble organic polymers after endocytosis and exposure to **liposomal** hydrolases. Soluble polymers, polymethacrylates or poly(hydroxypropylmethacrylamides) with d.p. 25-30, bound by amide bonds with L-phenylalanylnitroanilides through spacers of variable length and structure (peptides or aliphatic chains) were used as carriers. Chymotrypsin [9004-07-3]-catalyzed hydrolysis rates of the C-terminal anilide bonds were correlated with the length and structure of the spacers and the structure of the anilide groups. Steric conditions for the interactions of the spacer chains with chymotrypsin active site and affinity site are discussed.

CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 7, 34

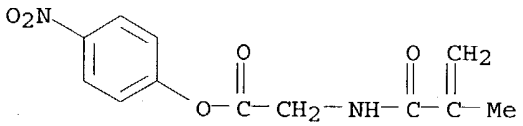
IT 57950-58-0P 57950-81-9P 61435-96-9P 61435-97-0P 61435-98-1P
61435-99-2P 61436-00-8P 62238-85-1P 64129-74-4P 64129-75-5P
64134-54-9P 64651-29-2P 70587-66-5P 70587-67-6P 70587-68-7P
71187-45-6P 73807-80-4P 73814-11-6P **90409-02-2P**
90409-03-3P 90409-04-4P 90409-05-5P
90409-06-6P 90409-07-7P 90409-08-8P
90409-09-9P 90409-10-2P 90409-12-4P 90409-14-6P
90409-16-8P 90409-18-0P 90409-20-4P 90409-22-6P 90409-24-8P
90409-26-0P 90409-28-2P 90409-30-6P 90409-32-8P 90409-34-0P
90409-36-2P 90409-38-4P 90409-40-8P 90409-42-0P 90409-44-2P
90409-46-4P 90409-48-6P 90409-50-0P 90409-52-2P 90409-54-4P
90409-56-6P 90409-58-8P 90409-60-2P 90409-62-4P **90409-65-7P**
90409-66-8P 90409-67-9P 90409-68-0P
90409-69-1P 90409-70-4P 90409-71-5P
90409-72-6P 90409-73-7P 90409-74-8P
90409-76-0P 90426-73-6P 90426-75-8P 90426-77-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chymotrypsin hydrolysis of, biomols. and drug release in relation to)

IT **90409-02-2P 90409-03-3P 90409-04-4P**
90409-05-5P 90409-06-6P 90409-07-7P
90409-08-8P 90409-09-9P 90409-10-2P
90409-65-7P 90409-66-8P 90409-67-9P
90409-68-0P 90409-69-1P 90409-70-4P
90409-71-5P 90409-72-6P 90409-73-7P
90409-74-8P 90409-76-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chymotrypsin hydrolysis of, biomols. and drug release in relation to)

RN 90409-02-2 HCAPLUS
CN Glycine, N-(2-methyl-1-oxo-2-propenyl)-, 4-nitrophenyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

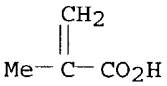
CM 1

CRN 57982-58-8
CMF C12 H12 N2 O5



CM 2

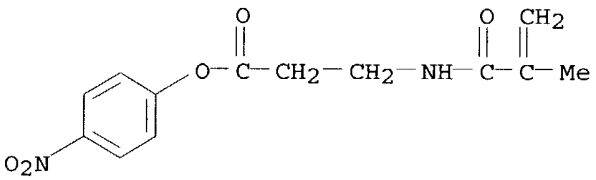
CRN 79-41-4
CMF C4 H6 O2



RN 90409-03-3 HCAPLUS
CN β-Alanine, N-(2-methyl-1-oxo-2-propenyl)-, 4-nitrophenyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

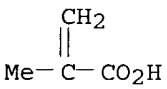
CM 1

CRN 64129-73-3
CMF C13 H14 N2 O5



CM 2

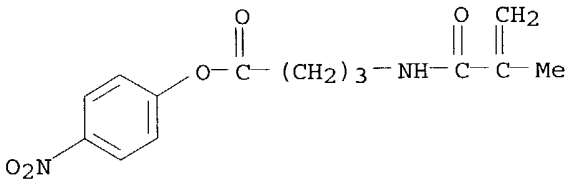
CRN 79-41-4
CMF C4 H6 O2



RN 90409-04-4 HCAPLUS
CN Butanoic acid, 4-[(2-methyl-1-oxo-2-propenyl)amino]-, 4-nitrophenyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

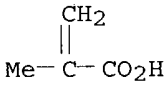
CM 1

CRN 73814-10-5
CMF C14 H16 N2 O5



CM 2

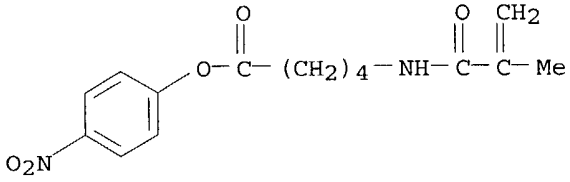
CRN 79-41-4
CMF C4 H6 O2



RN 90409-05-5 HCAPLUS
CN Pentanoic acid, 5-[(2-methyl-1-oxo-2-propenyl)amino]-, 4-nitrophenyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

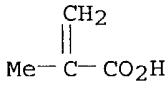
CM 1

CRN 70587-65-4
CMF C15 H18 N2 O5



CM 2

CRN 79-41-4
CMF C4 H6 O2

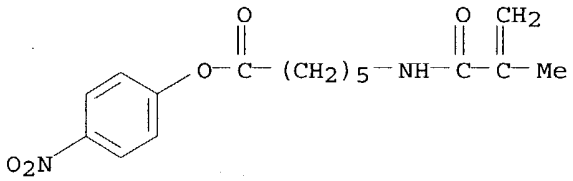


RN 90409-06-6 HCAPLUS
CN Hexanoic acid, 6-[(2-methyl-1-oxo-2-propenyl)amino]-, 4-nitrophenyl ester,

polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

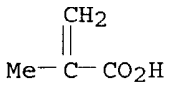
CM 1

CRN 57950-59-1
CMF C16 H20 N2 O5



CM 2

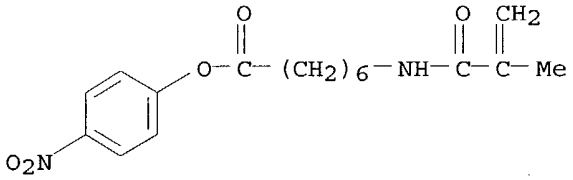
CRN 79-41-4
CMF C4 H6 O2



RN 90409-07-7 HCAPLUS
CN Heptanoic acid, 7-[(2-methyl-1-oxo-2-propenyl)amino]-, 4-nitrophenyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

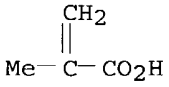
CM 1

CRN 64656-37-7
CMF C17 H22 N2 O5



CM 2

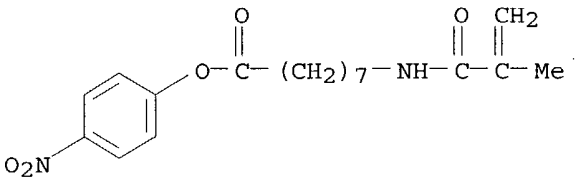
CRN 79-41-4
CMF C4 H6 O2



RN 90409-08-8 HCAPLUS
CN Octanoic acid, 8-[(2-methyl-1-oxo-2-propenyl)amino]-, 4-nitrophenyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

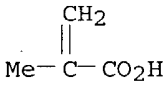
CM 1

CRN 64656-38-8
CMF C18 H24 N2 O5



CM 2

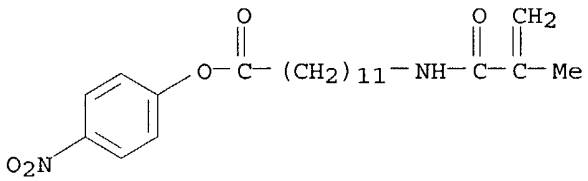
CRN 79-41-4
CMF C4 H6 O2



RN 90409-09-9 HCAPLUS
CN Dodecanoic acid, 12-[(2-methyl-1-oxo-2-propenyl)amino]-, 4-nitrophenyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

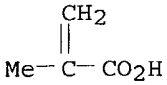
CM 1

CRN 64651-28-1
CMF C22 H32 N2 O5



CM 2

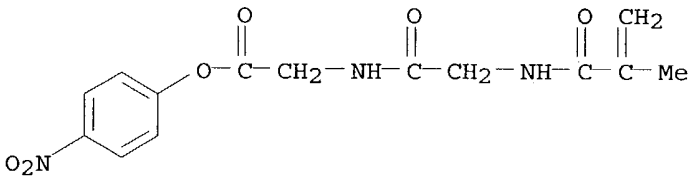
CRN 79-41-4
CMF C4 H6 O2



RN 90409-10-2 HCAPLUS
CN Glycine, N-[N-(2-methyl-1-oxo-2-propenyl)glycyl]-, 4-nitrophenyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

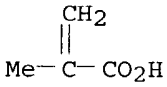
CM 1

CRN 57950-79-5
CMF C14 H15 N3 O6



CM 2

CRN 79-41-4
CMF C4 H6 O2

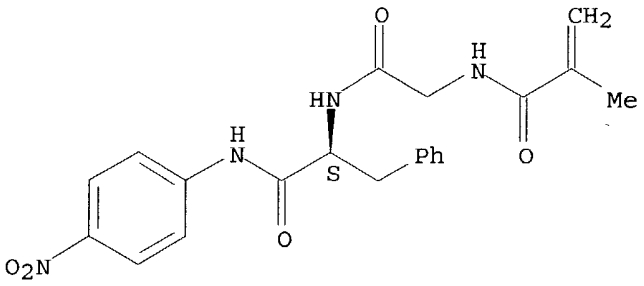


RN 90409-65-7 HCAPLUS
CN L-Phenylalaninamide, N-(2-methyl-1-oxo-2-propenyl)glycyl-N-(4-nitrophenyl)-, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

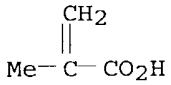
CRN 61435-70-9
CMF C21 H22 N4 O5

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

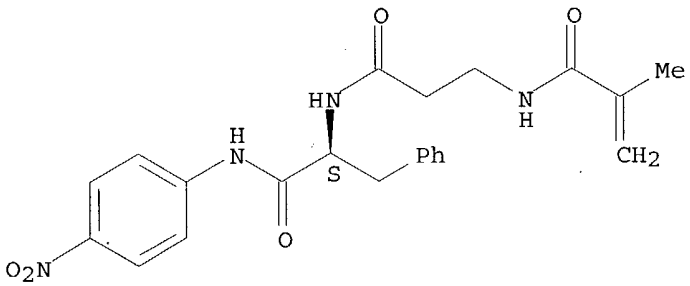


RN 90409-66-8 HCAPLUS
CN L-Phenylalaninamide, N-(2-methyl-1-oxo-2-propenyl)-β-alanyl-N-(4-nitrophenyl)-, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

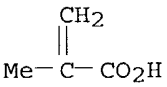
CRN 90409-11-3
CMF C22 H24 N4 O5

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

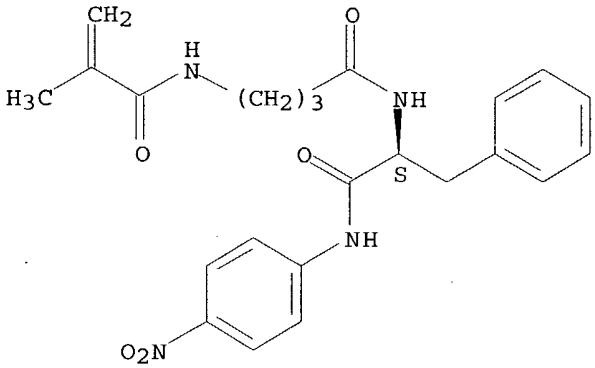


RN 90409-67-9 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with (S)-α-[[4-[(2-methyl-1-oxo-2-propenyl)amino]-1-oxobutyl]amino]-N-(4-nitrophenyl)benzenepropanamide (9CI) (CA INDEX NAME)

CM 1

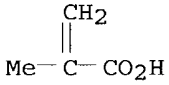
CRN 90409-13-5
CMF C23 H26 N4 O5

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

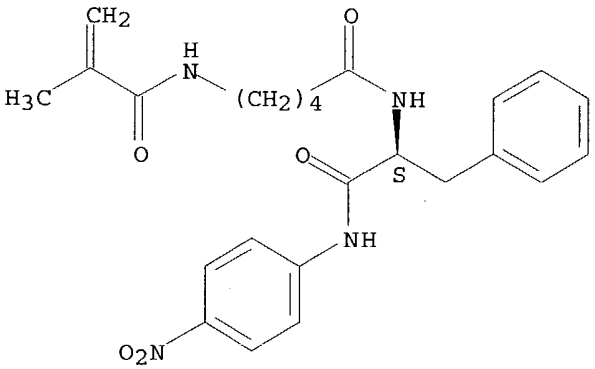


RN 90409-68-0 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with (S)-α-[[5-[(2-methyl-1-oxo-2-propenyl)amino]-1-oxopentyl]amino]-N-(4-nitrophenyl)benzenepropanamide (9CI) (CA INDEX NAME)

CM 1

CRN 90409-15-7
CMF C24 H28 N4 O5

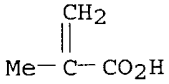
Absolute stereochemistry.



CM 2

CRN 79-41-4

CMF C4 H6 O2

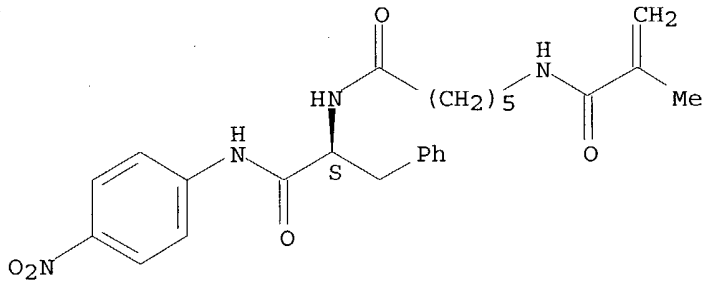


RN 90409-69-1 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with (S)-α-[[6-[(2-methyl-1-oxo-2-propenyl)amino]-1-oxohexyl]amino]-N-(4-nitrophenyl)benzenepropanamide (9CI) (CA INDEX NAME)

CM 1

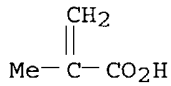
CRN 61435-73-2
CMF C25 H30 N4 O5

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

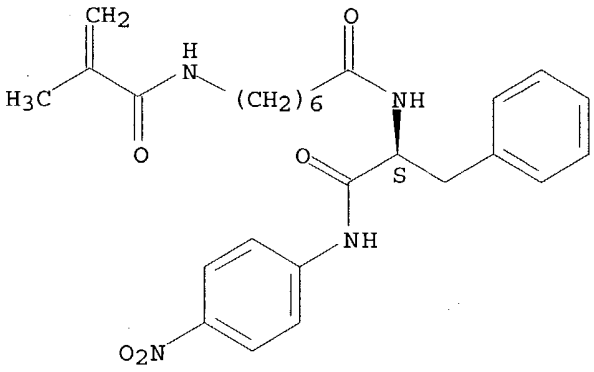


RN 90409-70-4 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with (S)-α-[[7-[(2-methyl-1-oxo-2-propenyl)amino]-1-oxoheptyl]amino]-N-(4-nitrophenyl)benzenepropanamide (9CI) (CA INDEX NAME)

CM 1

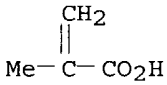
CRN 90409-17-9
CMF C26 H32 N4 O5

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

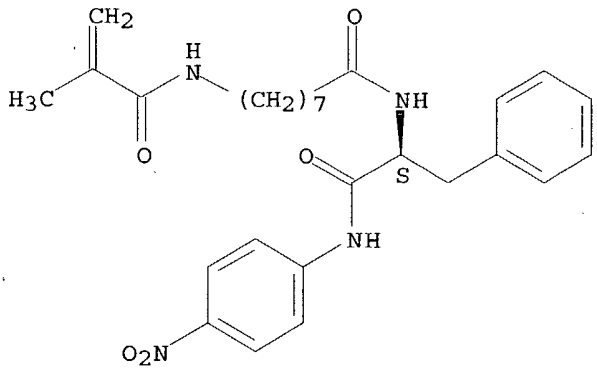


RN 90409-71-5 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with (S)-α-[[8-[(2-methyl-1-oxo-2-propenyl)amino]-1-oxooctyl]amino]-N-(4-nitrophenyl)benzenepropanamide (9CI) (CA INDEX NAME)

CM 1

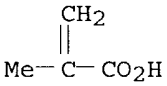
CRN 90409-19-1
CMF C27 H34 N4 O5

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

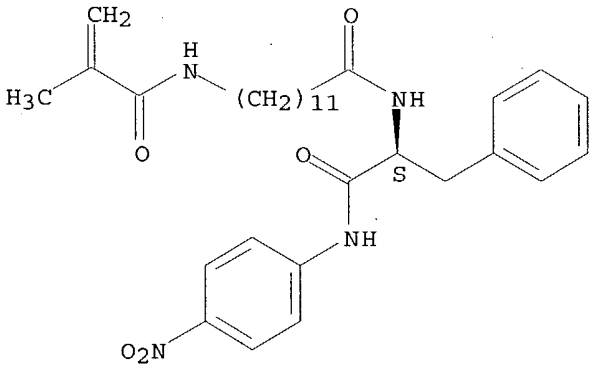


RN 90409-72-6 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, polymer with (S)-α-[[12-[(2-methyl-1-oxo-2-propenyl)amino]-1-oxododecyl]amino]-N-(4-nitrophenyl)benzenepropanamide (9CI) (CA INDEX NAME)

CM 1

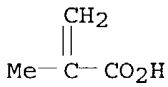
CRN 90409-23-7
CMF C31 H42 N4 O5

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

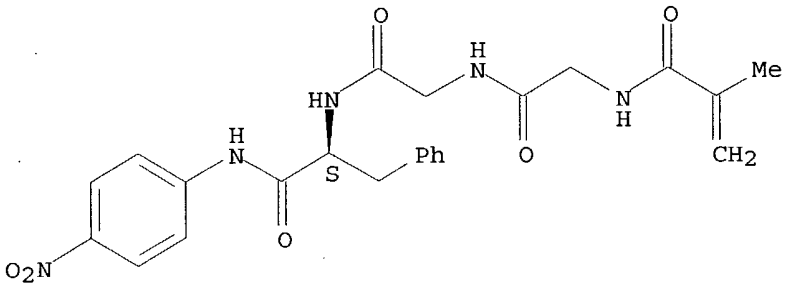


RN 90409-73-7 HCAPLUS
CN L-Phenylalaninamide, N-(2-methyl-1-oxo-2-propenyl)glycylglycyl-N-(4-nitrophenyl)-, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

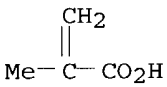
CRN 61435-71-0
CMF C23 H25 N5 O6

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2

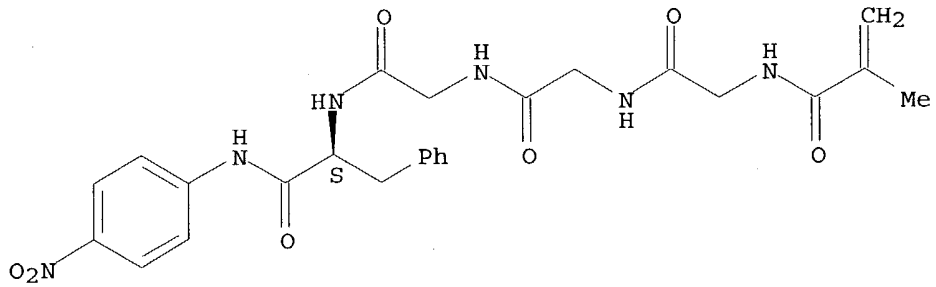


RN 90409-74-8 HCAPLUS
CN L-Phenylalaninamide, N-(2-methyl-1-oxo-2-propenyl)glycylglycylglycyl-N-(4-nitrophenyl)-, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

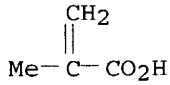
CRN 61435-72-1
CMF C25 H28 N6 O7

Absolute stereochemistry.



CM 2

CRN 79-41-4
CMF C4 H6 O2



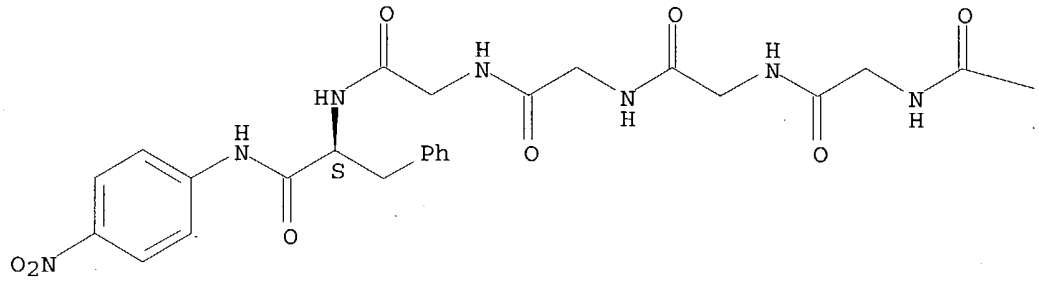
RN 90409-76-0 HCAPLUS
CN L-Phenylalaninamide, N-(2-methyl-1-oxo-2-propenyl)glycylglycylglycylglycyl-N-(4-nitrophenyl)-, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

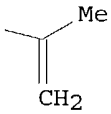
CRN 90409-75-9
CMF C27 H31 N7 O8

Absolute stereochemistry.

PAGE 1-A

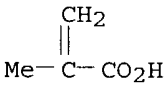


PAGE 1-B



CM 2

CRN 79-41-4
CMF C4 H6 O2



L44 ANSWER 33 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:588313 HCAPLUS
DOCUMENT NUMBER: 91:188313
TITLE: Complexation of synthetic bilayers with water-soluble polymers
AUTHOR(S): Kunitake, Toyoki; Yamada, Shinji
CORPORATE SOURCE: Fac. Eng., Kyushu Univ., Fukuoka, 812, Japan
SOURCE: Polymer Bulletin (Berlin, Germany) (1978), 1(1), 35-9
CODEN: POBUDR; ISSN: 0170-0839

DOCUMENT TYPE: Journal
LANGUAGE: English

AB The influence of some water-soluble polymers on the aggregate structure [**vesicle** and (or) lamella] of R2N+Me2 Br-(R = dodecyl or tetradecyl) was studied by electron microscopy. Pos. charged and uncharged polymers either destroyed the aggregate or were ineffective. The neg.-charged copolymer of acrylamide and acrylate separated the bilayer and produced smaller **vesicles**.

CC 6-13 (General Biochemistry)

IT 9002-89-5 9003-05-8 **9003-06-9** 9003-39-8 24991-23-9
26101-52-0 26700-71-0
RL: BIOL (Biological study)
(membrane bilayer of dialkyldimethylammonium salts structure in presence of, complexation in relation to)

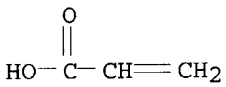
IT **9003-06-9**
RL: BIOL (Biological study)
(membrane bilayer of dialkyldimethylammonium salts structure in presence of, complexation in relation to)

RN 9003-06-9 HCAPLUS

CN 2-Propenoic acid, polymer with 2-propenamide (9CI) (CA INDEX NAME)

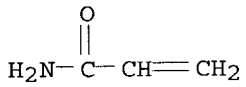
CM 1

CRN 79-10-7
CMF C3 H4 O2



CM 2

CRN 79-06-1
CMF C3 H5 N O



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